

```

chain nodes :
  10 18 19 20 21 22 23 26 29 32 35
ring nodes :
  1 2 3 4 5 6 7 8 9
ring/chain nodes :
  15 16 30 31
chain bonds :
  1-35 4-10 5-15 8-29 18-21 19-20 19-30 20-22 21-23 21-31
ring bonds :
  1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9
exact/norm bonds :
  1-2 1-6 1-35 2-3 2-7 3-4 3-9 4-5 4-10 5-6 5-15 7-8 8-9 8-29 18-21 19-20
  19-30 20-22 21-23 21-31
isolated ring systems :
  containing 1 :

```

G1:C,O,S,N

G2:C,S02

G3:[\*1],[\*2],[\*3],[\*4]

G4:H,[\*5]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 15:CLASS  
 16:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 26:CLASS 29:CLASS  
 30:CLASS 31:CLASS 32:CLASS 35:CLASS

Generic attributes :

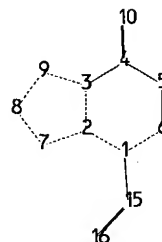
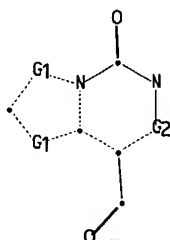
26:  
 Saturation : Unsaturated

Number of Carbon Atoms : less than 7

32:

Saturation : Saturated

Number of Carbon Atoms : less than 7

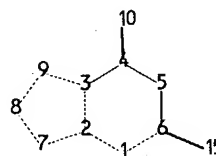
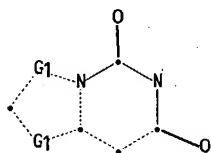


chain nodes :  
 10 15 16  
 ring nodes :  
 1 2 3 4 5 6 7 8 9  
 chain bonds :  
 1-15 4-10 15-16  
 ring bonds :  
 1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9  
 exact/norm bonds :  
 1-2 1-6 1-15 2-3 2-7 3-4 3-9 4-5 4-10 5-6 7-8 8-9 15-16  
 isolated ring systems :  
 containing 1 :

G1:C,O,S,N

G2:C,SO2

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 15:CLASS  
 16:CLASS



chain nodes :  
10 15  
ring nodes :  
1 2 3 4 5 6 7 8 9  
chain bonds :  
4-10 6-15  
ring bonds :  
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9  
exact/norm bonds :  
1-2 1-6 2-3 2-7 3-4 3-9 4-5 4-10 5-6 6-15 7-8 8-9  
isolated ring systems :  
containing 1 :

G1:C,O,S,N

G2:C,S02

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 15:CLASS

=&gt;

Uploading 10634225.str

L1        STRUCTURE UPLOADED

=&gt; d l1

L1 HAS NO ANSWERS

L1        STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=&gt; s l1 sss sam

SAMPLE SEARCH INITIATED 16:23:32 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 586 TO ITERATE

100.0% PROCESSED        586 ITERATIONS

50 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*  
                             BATCH    \*\*COMPLETE\*\*

PROJECTED ITERATIONS:        10268 TO        13172

PROJECTED ANSWERS:            1503 TO        2737

L2        50 SEA SSS SAM L1

=&gt; s l1 sss ful

FULL SEARCH INITIATED 16:28:24 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 13078 TO ITERATE

100.0% PROCESSED    13078 ITERATIONS

2657 ANSWERS

SEARCH TIME: 00.00.01

L3        2657 SEA SSS FUL L1

=&gt;

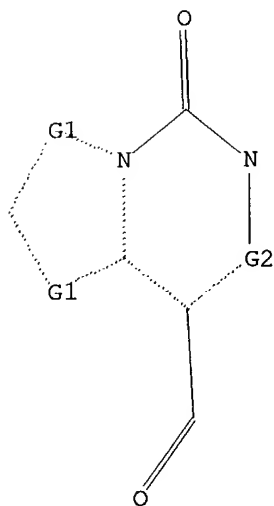
Uploading 10634225sub.str

L4        STRUCTURE UPLOADED

=&gt; d l4

L4 HAS NO ANSWERS

L4        STR



G1 C,O,S,N

G2 C,S02

Structure attributes must be viewed using STN Express query preparation.

=> s l4 sub=l3 sss sam

SAMPLE SUBSET SEARCH INITIATED 16:29:09 FILE 'REGISTRY'

SAMPLE SUBSET SCREEN SEARCH COMPLETED - 88 TO ITERATE

100.0% PROCESSED 88 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

50 ANSWERS

PROJECTIONS (WITHIN SPECIFIED SUBSET):	ONLINE	**COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):	1198 TO	2322
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):	1181 TO	2299

L5 50 SEA SUB=L3 SSS SAM L4

=> s l4 sub=l3 sss ful

FULL SUBSET SEARCH INITIATED 16:29:17 FILE 'REGISTRY'

FULL SUBSET SCREEN SEARCH COMPLETED - 2169 TO ITERATE

100.0% PROCESSED 2169 ITERATIONS  
SEARCH TIME: 00.00.01

2133 ANSWERS

L6 2133 SEA SUB=L3 SSS FUL L4

=>  
Uploading 10634225sub2.str

L7 STRUCTURE UPLOADED

=> d l7 sub=l3 sss sam

L7 HAS NO ANSWERS

'SSS SAM ' IS NOT A VALID STRUCTURE FORMAT KEYWORD

Structure Formats

SIA ----- Structure Image, Attributes, and map table if it contains data. (Default)

SIM ----- Structure Image.

SAT ----- Structure ATtributes and map table if it contains data.

SCT ----- Structure Connection Table and map table if it contains data.

SDA ----- All Structure Data (image, attributes, connection table and map table if it contains data).

NOS ----- NO Structure data.

ENTER STRUCTURE FORMAT (SIM), NOS:end

=> s 17 sub=l3 sss sam

SAMPLE SUBSET SEARCH INITIATED 16:30:35 FILE 'REGISTRY'

SAMPLE SUBSET SCREEN SEARCH COMPLETED - 14 TO ITERATE

100.0% PROCESSED 14 ITERATIONS  
SEARCH TIME: 00.00.01

12 ANSWERS

PROJECTIONS (WITHIN SPECIFIED SUBSET):

ONLINE \*\*COMPLETE\*\*

PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):

56 TO 504

PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):

33 TO 447

L8 12 SEA SUB=L3 SSS SAM L7

=> s 17 sub=l3 sss ful

FULL SUBSET SEARCH INITIATED 16:30:46 FILE 'REGISTRY'

FULL SUBSET SCREEN SEARCH COMPLETED - 420 TO ITERATE

100.0% PROCESSED 420 ITERATIONS  
SEARCH TIME: 00.00.01

411 ANSWERS

L9 411 SEA SUB=L3 SSS FUL L7

=> s 13 not 16 not 19

L10 117 L3 NOT L6 NOT L9

=> s 110

L11 44 L10

=> d 111 1-44 bib,ab,hitstr

L11 ANSWER 1 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2003:376573 CAPLUS  
 DN 138:379221  
 TI Method for treating or preventing inflammatory diseases  
 IN Peterson, Ward M.; Yerxa, Benjamin R.  
 PA Inspire Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 43 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

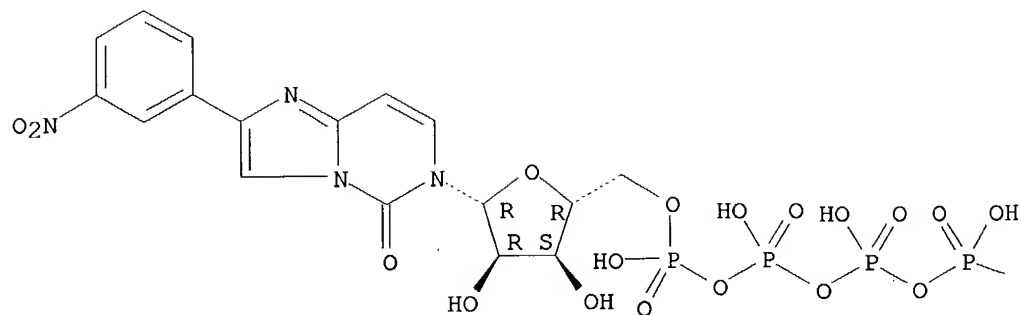
*not prior*

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003039473	A2	20030515	WO 2002-US35775	20021106
	WO 2003039473	A3	20031023		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003125299	A1	20030703	US 2002-290213	20021106
PRAI	US 2001-337828P	P	20011106		
OS	MARPAT 138:379221				
AB	The present invention provides a method of preventing or treating ant-inflammatory disease, including but not limited to, sinusitis, rhinitis, conjunctivitis, asthma, dermatitis, inflammatory bowel disease, inflammatory collagen vascular diseases, glomerulonephritis, inflammatory skin diseases, and sarcoidosis. The method comprises administering to a subject a pharmaceutical formulation comprising a nucleotide receptor agonist, such as nucleoside diphosphate, nucleoside triphosphate, or dinucleoside polyphosphate. Preferred indications of the present invention are perennial allergic rhinitis, seasonal allergic rhinitis, infectious allergic rhinitis, and allergic conjunctivitis.				
IT	<b>479677-55-9 479677-64-0</b> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (method for treating or preventing inflammatory diseases with nucleoside phosphates)				
RN	479677-55-9 CAPLUS				
CN	Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[5-O-[hydroxy[[hydroxy[[hydroxy(phosp honooxy)phosphinyl]oxy]phosphinyl]oxy]phosphinyl]-.beta.-D-ribofuranosyl]- 2-(3-nitrophenyl)-, P'''-fwdarw.5'-ester with 2-(3-nitrophenyl)-6-.beta.-D- ribofuranosylimidazo[1,2-c]pyrimidin-5(6H)-one (9CI) (CA INDEX NAME)				

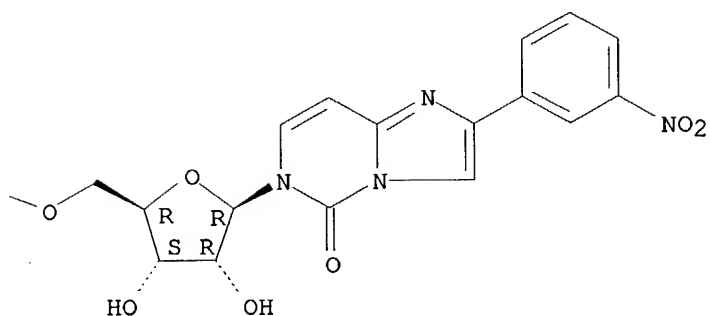
Absolute stereochemistry.



PAGE 1-A



PAGE 1-B

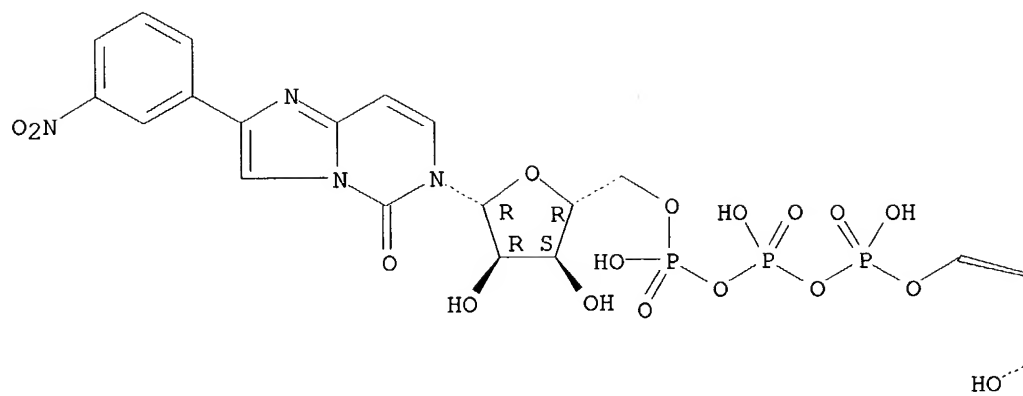


RN 479677-64-0 CAPLUS

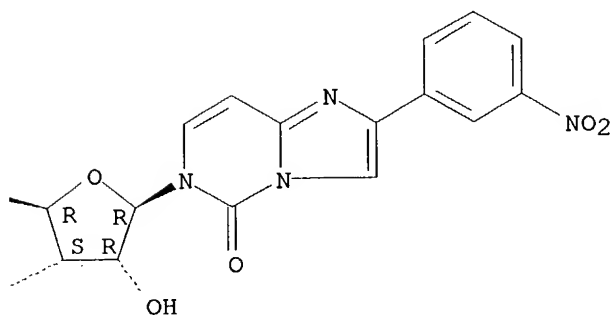
CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(3-nitrophenyl)-6-.beta.-D-  
 ribofuranosyl-, 5',5'''-(P,P',P'''-trihydrogen triphosphate) (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L11 ANSWER 2 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:282402 CAPLUS

DN 138:304303

TI Preparation of triazolo[1,5-d]pyrimidine derivatives as adrenergic .alpha.2C receptor antagonists

IN Uesaka, Noriaki; Imma, Hironori; Kashima, Hajime; Kurokawa, Masako; Nonaka, Hiromi; Kanda, Tomoyuki; Kuwana, Yoshihisa; Toki, Shinichiro; Shimada, Junichi

PA Kyowa Hakko Kogyo Co., Ltd., Japan

SO PCT Int. Appl., 318 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003028732	A1	20030410	WO 2002-JP9911	20020926
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI JP 2001-302375 A 20010928

JP 2002-23146 A 20020131

OS MARPAT 138:304303

AB An adrenergic .alpha.2C receptor antagonist which contains as an active ingredient a fused-ring pyrimidine deriv. represented by the general formula (I) or a pharmacol. acceptable salt thereof [p = an integer of 1 to 3; R1 = H, each (un)substituted lower alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl or heterocyclyl-lower alkyl; R2 = N(R3)(R4), Q1 [wherein R3, R4 = each (un)substituted lower alkyl, aryl, aralkyl, heterocyclyl or heterocyclyl-lower alkyl or R3 and R4 in cooperation with the adjacent nitrogen atom form an (un)substituted heterocyclic group; -A1-A2- = -Y1-CO-Y2-CH2-, -Y3-CH2-Y4-CO-; wherein Y1, Y2, Y3, Y4 = O, (un)substituted NH; Q = -N:C(R7)-, N(R12)CO, Q2 [wherein R7 = each (un)substituted OH, NH2, or SH; R12 = H, (un)substituted lower alkyl, aralkyl, or heterocyclylalkyl; n = an integer of 1-3; R13, R14 = groups listed in R1 ]] is provided. The above antagonist is useful in the treatment for and/or prevention of various diseases attributable to the hyperenergia (hyperactivity) of an adrenergic .alpha.2C receptor such as dyskinesia, in particular L-DOPA-induced dyskinesia, and Parkinson's disease. Thus, 3.81 g 5-(3,4-dimethoxybenzylamino)-8-formyl-2-(2-furyl)[1,2,4]triazolo[1,5-c]pyrimidine was suspended in 182 mL dichloroethane, treated with 1.71 g 1-phenylpiperazine, stirred at room temp. for 0.5 h, treated with 6.38 g sodium triacetoxyborohydride under ice-cooling, and stirred at room temp. for 2 h to give, after workup and silica gel chromatog., 91% 5-(3,4-dimethoxybenzylamino)-2-(2-furyl)-8-(4-phenylpiperazin-1-ylmethyl)[1,2,4]triazolo[1,5-c]pyrimidine which (4.03 g) was stirred in 4.03 mL CF3SO3H and 4.95 mL anisole at 50.degree. for 1 h to give, after workup and silica gel chromatog., 79% 5-amino-2-(2-furyl)-8-(4-phenylpiperazin-1-ylmethyl)[1,2,4]triazolo[1,5-c]pyrimidine (II). II and 5-amino-2-(2-furyl)-8-(1,2,3,4-tetrahydroisoquinolin-2-

ylmethyl)[1,2,4]triazolo[1,5-c]pyrimidine in vitro inhibited the binding of [methyl-3H]MK-912 to adrenergic .alpha.2C receptor in human liver-derived HepG2 cells by 80 and 96%, resp. A tablet contg. II and an injection soln. contg. 8-[4-(2,3-dichlorophenyl)piperazin-1-ylmethyl]-5-(3,4-dimethoxybenzylamino)-1-(2-furyl)[1,2,4]triazolo[1,5-c]pyrimidine were formulated.

IT 508240-00-4P 508240-01-5P 508240-02-6P

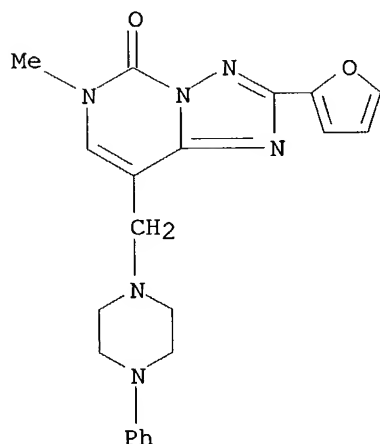
508240-03-7P 508240-04-8P 508240-05-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of triazolo[1,5-d]pyrimidine derivs. as adrenergic .alpha.2C receptor antagonists for treatment and/or prevention of dyskinesia, in particular L-DOPA-induced dyskinesia, and Parkinson's disease)

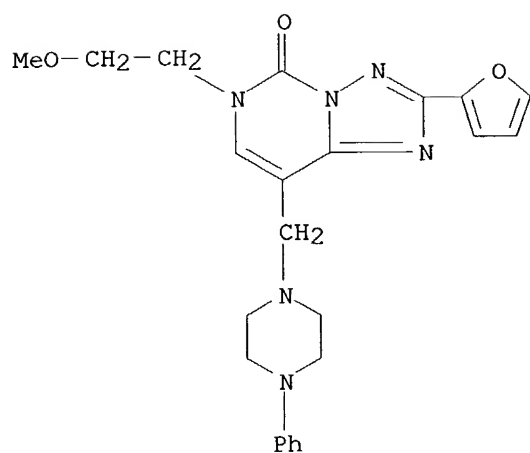
RN 508240-00-4 CAPLUS

CN [1,2,4]Triazolo[1,5-c]pyrimidin-5(6H)-one, 2-(2-furanyl)-6-methyl-8-[(4-phenyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



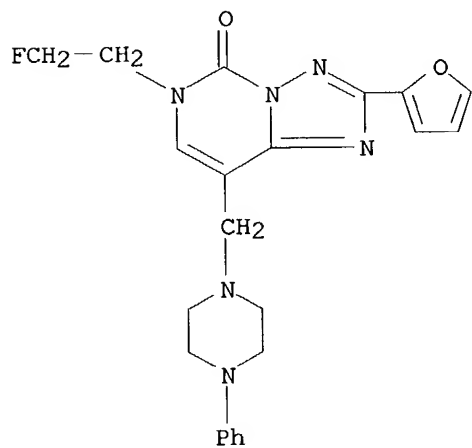
RN 508240-01-5 CAPLUS

CN [1,2,4]Triazolo[1,5-c]pyrimidin-5(6H)-one, 2-(2-furanyl)-6-(2-methoxyethyl)-8-[(4-phenyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



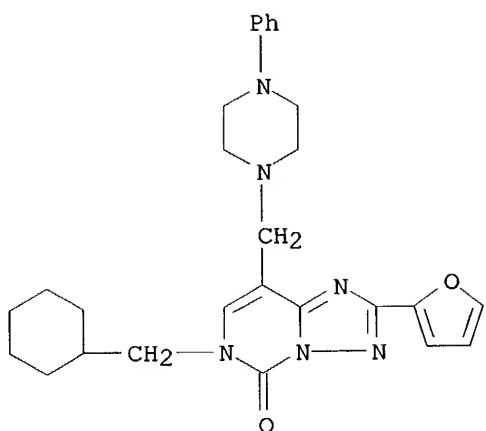
RN 508240-02-6 CAPLUS

CN [1,2,4]Triazolo[1,5-c]pyrimidin-5(6H)-one, 6-(2-fluoroethyl)-2-(2-furanyl)-8-[(4-phenyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



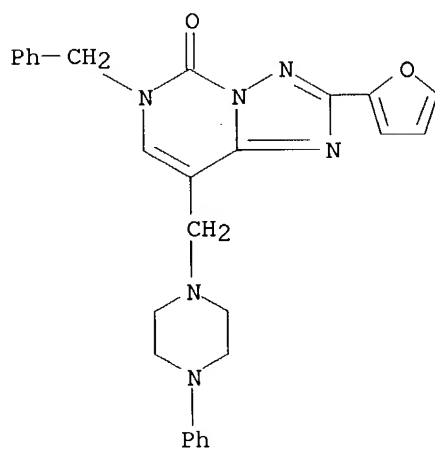
RN 508240-03-7 CAPLUS

CN [1,2,4]Triazolo[1,5-c]pyrimidin-5(6H)-one, 6-(cyclohexylmethyl)-2-(2-furanyl)-8-[(4-phenyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



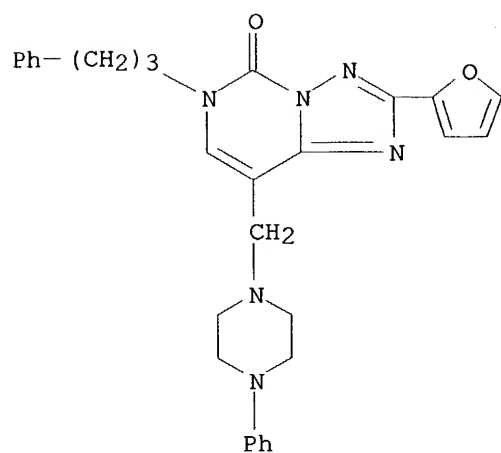
RN 508240-04-8 CAPLUS

CN [1,2,4]Triazolo[1,5-c]pyrimidin-5(6H)-one, 2-(2-furanyl)-6-(phenylmethyl)-8-[(4-phenyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 508240-05-9 CAPLUS

CN [1,2,4]Triazolo[1,5-c]pyrimidin-5(6H)-one, 2-(2-furanyl)-8-[(4-phenyl-1-piperazinyl)methyl]-6-(3-phenylpropyl)- (9CI) (CA INDEX NAME)



RE.CNT 9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:23529 CAPLUS

DN 138:73464

TI Preparation of nucleotide triphosphates for treating epithelia and retinal tissue diseases

IN Yerxa, Benjamin R.; Douglass, James G.; Shaver, Sammy Ray; Peterson, Ward M.; Brown, Edward G.; Crean, Christopher S.

PA USA

SO U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U.S. Pat. Appl. 2002 52,337.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003008834	A1	20030109	US 2002-82998	20020227
	US 2002052337	A1	20020502	US 2001-934970	20010821
	WO 2003072067	A2	20030904	WO 2003-US6691	20030227
	W:				
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	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2000-643138 A2 20000821

US 2001-934970 A2 20010821

US 2002-82998 A 20020227

OS MARPAT 138:73464

AB Nucleotide triphosphates I wherein B is nucleobase; X is substituted triphosphate; Y is H, OH, OR; Z is H, OH, OR1; with the proviso that Y and Z are both not H; R1 and R2 are independently residues which are linked directly to the 2' and /or 3' oxygens of the furanose or carbocycle via a carbon atom, were prepd. for treating epithelia and retinal tissue diseases. These mononucleoside phosphates can be made from a mononucleotide that has been modified by attaching a degrdn. resistant substituent on the terminal phosphate of a polyphosphate mononucleotide. By attaching this degrdn. resistant substituent, the stability from degrdn. matches or exceeds those of certain dinucleotides. The present invention relates to compds. and the methods of using such compds. in the diagnosis, prevention or treatment of epithelial and retinal tissue diseases or conditions of humans and other mammals. Such diseases include: epithelial or retinal tissue disease or condition is selected from the group consisting of vaginal and cervical dryness, chronic bronchitis, chronic obstructive pulmonary disorder, pneumonia, cystic fibrosis, ciliary dyskinesia, sinusitis, lung cancer, otitis media, retinal detachment, retinal edema, dry eye, dry mouth, gastro-esophageal reflux disease (GERD), diarrhea, irritable bowel disease, constipation, glaucoma assocd. with elevated intraocular pressure, retinal degenerative diseases, corneal edema, allergic conjunctivitis, ocular surface inflammation, and allergic rhinitis. Thus, 2',3'-O-methylenebenzyl-.gamma.-(2-naphthalene)ATP was prepd. for treating epithelia and retinal tissue diseases (no data).



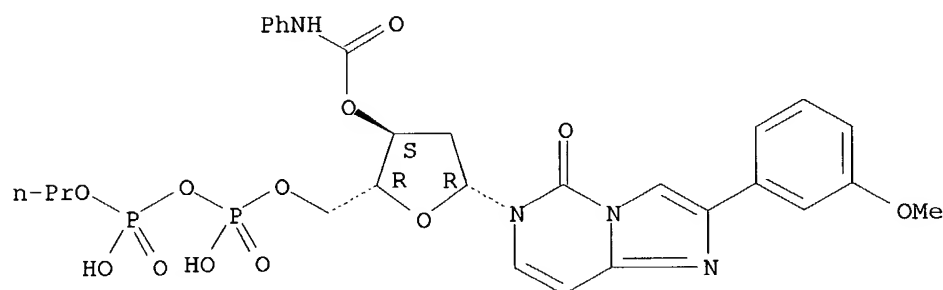
IT 481051-29-0P 481051-38-1P 481051-39-2P  
481051-42-7P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);  
BIOL (Biological study); PREP (Preparation)  
(prepn. of nucleotide triphosphates for treating epithelia and retinal  
tissue diseases)

RN 481051-29-0 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[2-deoxy-5-O-(1,3-dihydroxy-1,3-dioxido-2,4-dioxo-1,3-diphosphahept-1-yl)-3-O-[(phenylamino)carbonyl]-.beta.-D-erythro-pentofuranosyl]-2-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

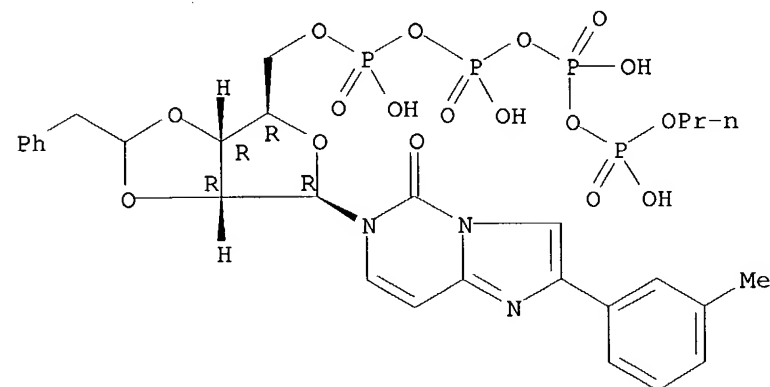
Absolute stereochemistry.



RN 481051-38-1 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(3-methylphenyl)-6-[2,3-O-(2-phenylethylidene)-5-O-(1,3,5,7-tetrahydroxy-1,3,5,7-tetraoxido-2,4,6,8-tetraoxa-1,3,5,7-tetraphosphaundec-1-yl)-.beta.-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

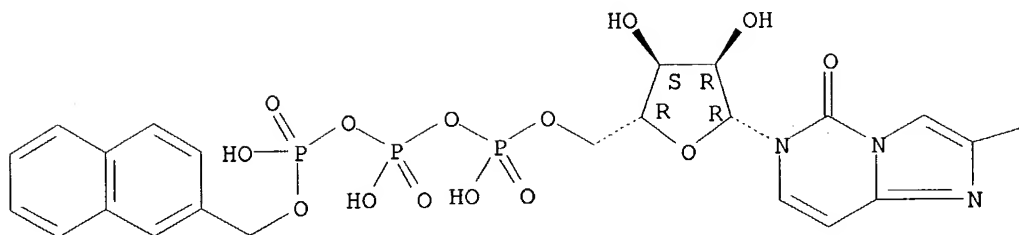


RN 481051-39-2 CAPLUS

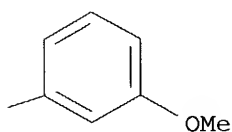
CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(3-methoxyphenyl)-6-[5-O-[1,3,5-trihydroxy-7-(2-naphthalenyl)-1,3,5-trioxido-2,4,6-trioxa-1,3,5-triphosphahept-1-yl]-.beta.-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



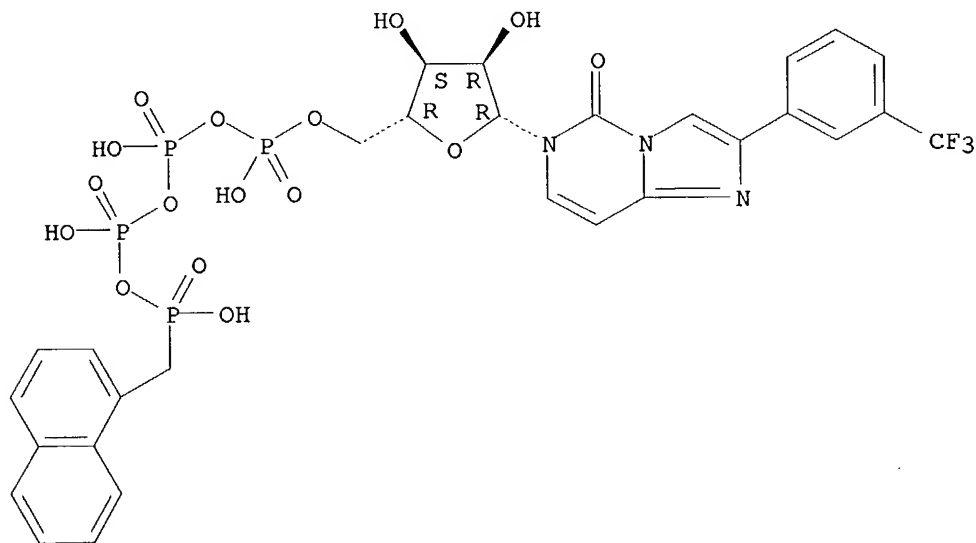
PAGE 1-B



RN 481051-42-7 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[5-O-[1,3,5,7-tetrahydroxy-8-(1-naphthalenyl)-1,3,5,7-tetraoxido-2,4,6-trioxa-1,3,5,7-tetraphosphaoct-1-yl]-.beta.-D-ribofuranosyl]-2-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 4 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2003:5682 CAPLUS  
 DN 138:78562  
 TI Joint lubrication with P2Y purinergic receptor agonists  
 IN Cowlen, Matthew S.; Yerxa, Benjamin R.; Jones, Arthur C.; Brown, Edward G.  
 PA Inspire Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 33 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003000056	A1	20030103	WO 2002-US20703	20020625
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003027785	A1	20030206	US 2002-183320	20020625
PRAI	US 2001-300942P	P	20010625		

OS MARPAT 138:78562

AB The present invention is directed to a method of altering the amt. or compn. of synovial fluids secreted from joints in a subject in need of such treatment. The method comprises administering to a subject a pharmaceutical compn. comprising a P2Y purinergic receptor agonist in an amt. effective to alter the amt. or compn. of synovial fluids. The P2Y purinergic receptor agonist is administered in an amt. effective to stimulate secretion of synovial fluid, lubricin, hyaluronic acid, or surface-active phospholipids; to enhance joint lubrication; or to treat osteoarthritis. The pharmaceutical compns. useful in the present invention comprise a P2Y purinergic receptor agonists include, but are not limited to: uridine-, adenosine-, cytidine-5'-di- or triphosphates, dinucleoside polyphosphates, and analogs thereof. The invention is useful for treating conditions assocd. with reduced joint lubrication and joint stiffness, such as osteoarthritis.

IT **479677-55-9 479677-64-0**

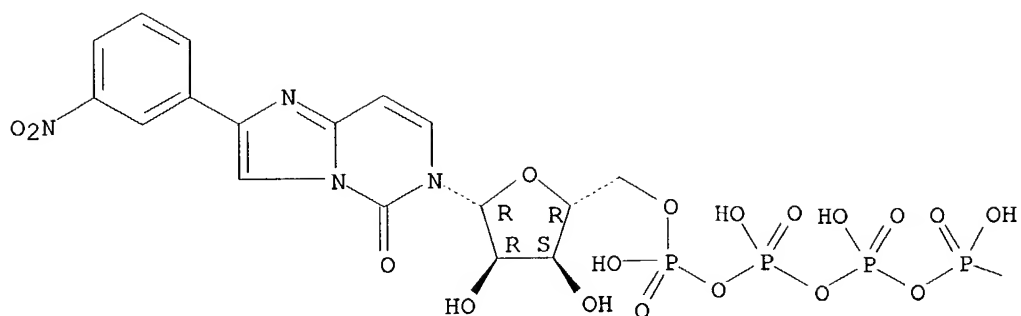
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (joint lubrication with P2Y purinergic receptor agonists)

RN 479677-55-9 CAPLUS

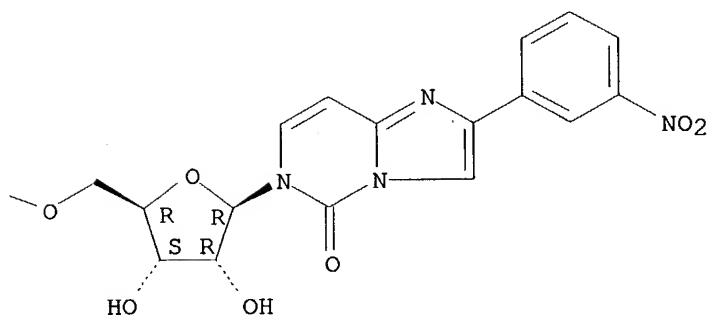
CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[5-O-[hydroxy[[hydroxy[[hydroxy(phosphonoxy)phosphinyl]oxy]phosphinyl]oxy]phosphinyl]-.beta.-D-ribofuranosyl]-2-(3-nitrophenyl)-, P'''-fwdarw.5'-ester with 2-(3-nitrophenyl)-6-.beta.-D-ribofuranosylimidazo[1,2-c]pyrimidin-5(6H)-one (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

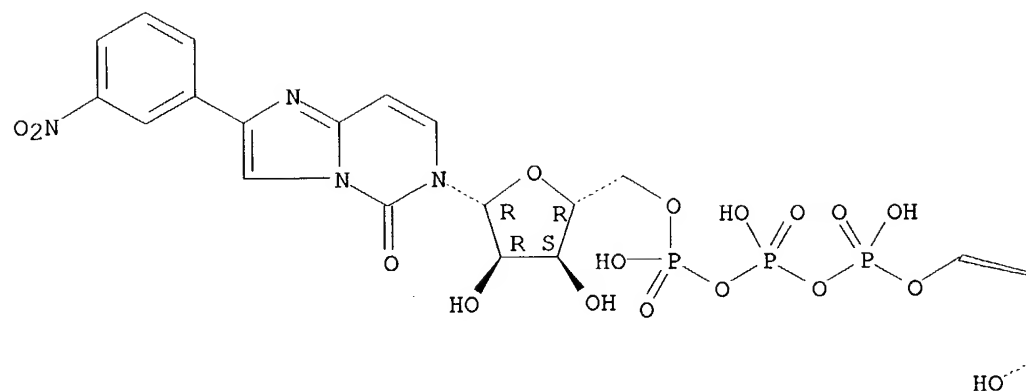


RN 479677-64-0 CAPLUS

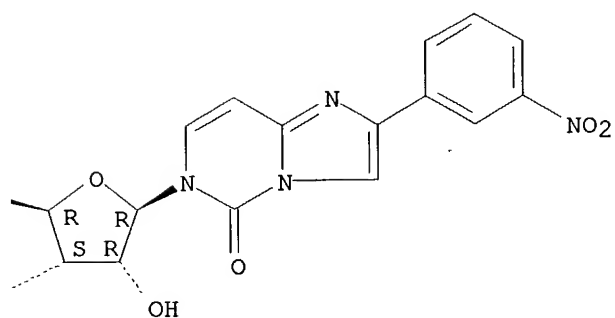
CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(3-nitrophenyl)-6-.beta.-D-ribofuranosyl-, 5',5'''-(P,P',P''-trihydrogen triphosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RE.CNT 5      THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:965116 CAPLUS  
 DN 138:33376  
 TI Method of treating dry eye disease with purinergic receptor agonists  
 IN Yerxa, Benjamin R.; Jacobus, Karla; Pendergast, William; Rideout, Janet L.  
 PA USA  
 SO U.S. Pat. Appl. Publ., 18 pp., Cont.-in-part of U.S. Ser. No. 171,169.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002193340	A1	20021219	US 2001-27520	20011219
	US 5900407	A	19990504	US 1997-797472	19970206
	WO 9834593	A1	19980813	WO 1998-US2701	19980206
	W:				
	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				
	DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,				
	KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,				
	NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,				
	UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM,				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,				
	FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,				
	GA, GN, ML, MR, NE, SN, TD, TG				
PRAI	US 1997-797472	W	19970206		
	WO 1998-US2701	W	19980206		
	US 1998-171169	A2	19981014		

OS MARPAT 138:33376

AB This invention is directed to a method of stimulating tear secretion and mucin prodn. in eyes. The method comprises the step of administering to the eyes of a subject a compn. comprising a dinucleotide of Formula I (X = oxygen, imido, methylene or difluoromethylene; Y = H or OH; Y' = H or OH; n = 0, 1, or 2; m = 0, 1, or 2; n+m = 0-4; B and B' are each independently a purine such as adenine; RX = O, H or is absent; RY = hydrogen, chlorine, amino, monosubstituted amino, disubstituted amino, alkylthio, arylthio, or aralkylthio, wherein the substituent on the sulfur contains up to 20 carbon atoms; RZ is oxo, mercapto, thione, alkylthio, arylthio, aralkylthio, acylthio, alkyloxy, etc.; R3 is hydrogen, azido, alkoxy, aryloxy, aralkyloxy, alkylthio, arylthio, aralkylthio, amino, monosubstituted amino, disubstituted amino, etc.) its pharmaceutically acceptable salts, in an amt. effective to stimulate tear fluid secretion. The method of the present invention may be used to increase tear prodn. for any reason, including, but not limited to, treatment of dry eye disease and corneal injury. Pharmaceutical formulations and methods of making the same are also disclosed. Methods of administering the same would include: topical administration via a liq., gel, cream, or as part of a contact lens or selective release membrane; or systemic administration via nasal drops or spray, inhalation by nebulizer or other device, oral form (liq. or pill), injectable, intra-operative instillation or suppository form.

IT 401618-87-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method of treating dry eye disease with purinergic receptor agonists such as dinucleotides)

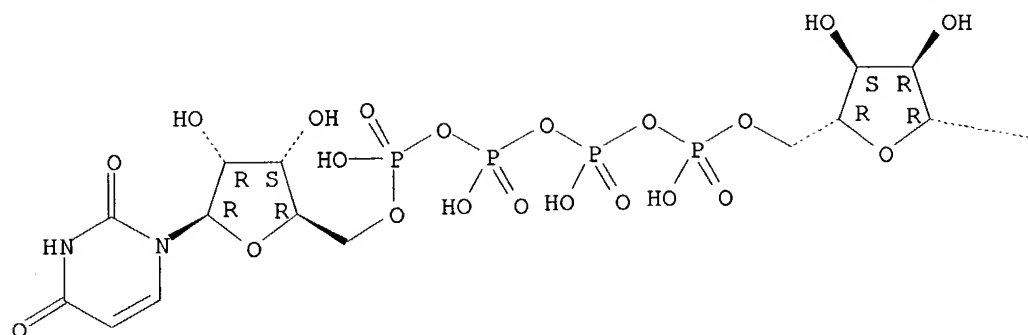
RN 401618-87-9 CAPLUS

CN Uridine 5'-(pentahydrogen tetraphosphate), P'''-fwdarw.5'-ester with 2-(4-bromophenyl)-6-.beta.-D-ribofuranosylimidazo[1,2-c]pyrimidin-5(6H)-

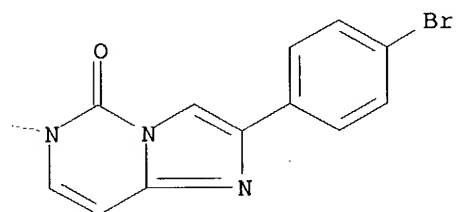
one (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L11 ANSWER 6 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:373581 CAPLUS

DN 137:200995

TI The first reliable, general synthesis of the 5-oxo derivatives of 5,6-dihydro-1,2,4-triazolo[4,3-c]pyrimidine and the rates of isomerization of the [4,3-c] compounds into their [1,5-c] isomers

AU Nagamatsu, Tomohisa; Fujita, Takayuki

CS Faculty of Pharmaceutical Sciences, Okayama University, Okayama, Japan

SO Heterocycles (2002), 57(4), 631-636

CODEN: HTCYAM; ISSN: 0385-5414

PB Japan Institute of Heterocyclic Chemistry

DT Journal

LA English

OS CASREACT 137:200995

AB This paper describes a reliable synthesis of the 5-oxo derivs. (8, VIII; R1-R3 = H, Me; R4 = H, Me, Ph) of 5,6-dihydro-1,2,4-triazolo[4,3-c]pyrimidine, by the reaction of 2-oxo-1,2-dihydropyrimidin-4-ylhydrazines with the appropriate tri-Et orthoesters in trifluoroacetic acid below 30 .degree.C or by the oxidative cyclization of their aldehyde hydrazones with 70% nitric acid in trifluoroacetic acid below 40 .degree.C, and the rates of isomerization of the [4,3-c] compds. (8) into the [1,5-c] isomers (9, IX).

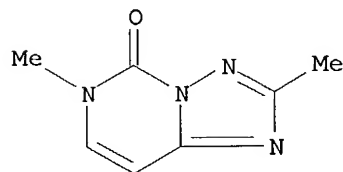
IT 452324-04-8P 452324-06-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(the first reliable, general synthesis of the 5-oxo derivs. of 5,6-dihydro-1,2,4-triazolo[4,3-c]pyrimidine and the rates of isomerization of the [4,3-c] compds. into their [1,5-c] isomers)

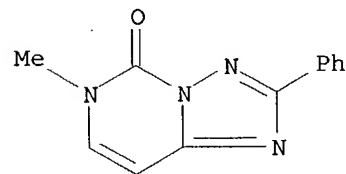
RN 452324-04-8 CAPLUS

CN [1,2,4]Triazolo[1,5-c]pyrimidin-5(6H)-one, 2,6-dimethyl- (9CI) (CA INDEX NAME)



RN 452324-06-0 CAPLUS

CN [1,2,4]Triazolo[1,5-c]pyrimidin-5(6H)-one, 6-methyl-2-phenyl- (9CI) (CA INDEX NAME)



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



L11 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:332678 CAPLUS  
 DN 136:350561  
 TI Use of P2Y12 receptor antagonists as platelet aggregation inhibitors  
 IN Boyer, Jose L.; Olins, Gillian M.; Yerxa, Benjamin R.; Douglass, James G.  
 PA USA  
 SO U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U. S. Ser. No. 643,138.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002052337	A1	20020502	US 2001-934970	20010821
	US 2002128224	A1	20020912	US 2002-87551	20020227
	US 2003008834	A1	20030109	US 2002-82998	20020227
PRAI	US 2000-643138	A2	20000821		
	US 2001-934970	A2	20010821		
OS	MARPAT 136:350561				

AB The invention discloses a method of preventing or treating diseases or conditions assocd. with platelet aggregation and treating thrombosis. The method involves administering to a subject a pharmaceutical compn. comprising a therapeutic effective amt. of P2Y12 receptor antagonist compd., to bind the P2Y12 receptors on platelets and inhibit ADP-induced platelet aggregation. The P2Y12 receptor antagonist compds. disclosed include mononucleoside polyphosphates and dinucleoside polyphosphates.

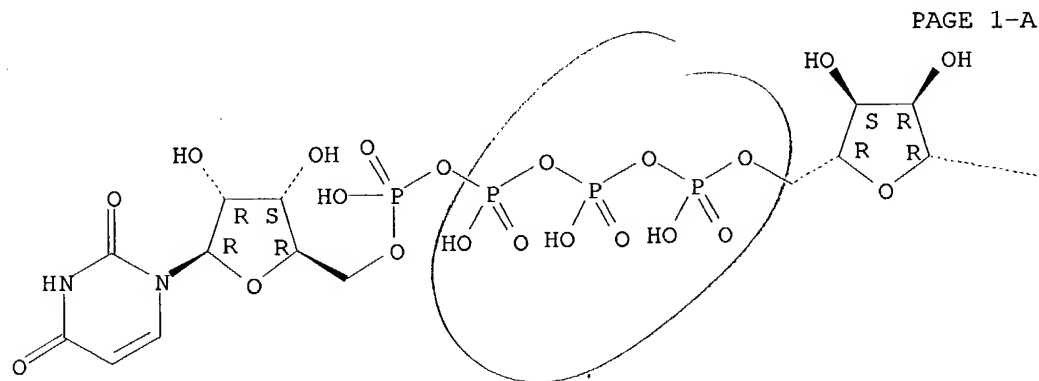
IT **401618-87-9P 401618-93-7P 401618-99-3P**  
**401619-05-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (P2Y12 receptor antagonists as platelet aggregation inhibitors)

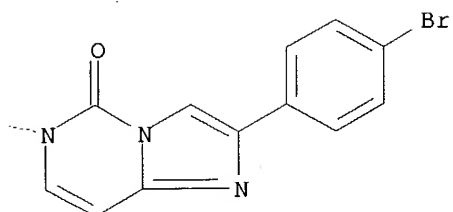
RN 401618-87-9 CAPLUS

CN Uridine 5'-(pentahydrogen tetraphosphate), P'''-fwdarw.5'-ester with 2-(4-bromophenyl)-6-.beta.-D-ribofuranosylimidazo[1,2-c]pyrimidin-5(6H)-one (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B

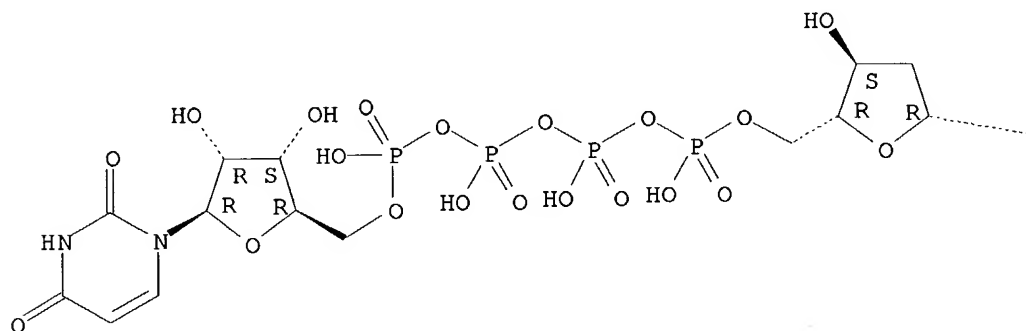


RN 401618-93-7 CAPLUS

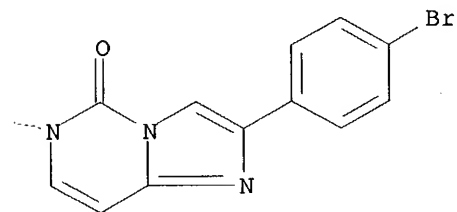
CN Uridine 5'-(pentahydrogen tetraphosphate), P'''-fwdarw.5'-ester with  
2-(4-bromophenyl)-6-(2-deoxy-.beta.-D-erythro-pentofuranosyl)imidazo[1,2-  
c]pyrimidin-5(6H)-one (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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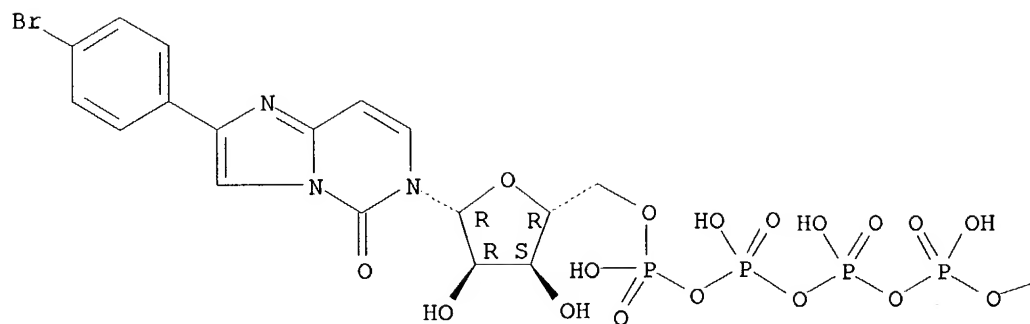


RN 401618-99-3 CAPLUS

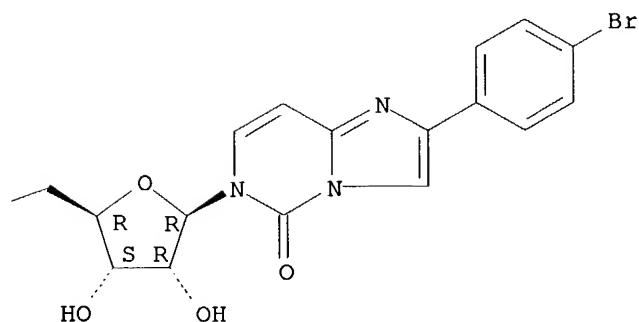
CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-bromophenyl)-6-.beta.-D-  
ribofuranosyl-, 5',5'''-(P,P',P'',P'''-tetrahydrogen tetraphosphate) (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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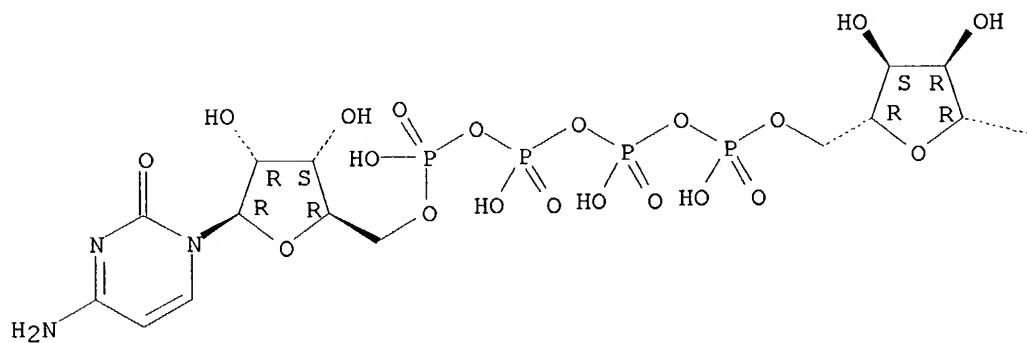


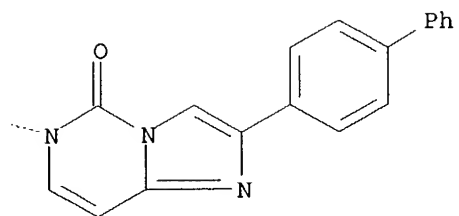
RN 401619-05-4 CAPLUS

CN Cytidine 5'-(pentahydrogen tetraphosphate), P'''-fwdarw.5'-ester with  
 2-[1,1'-biphenyl]-4-yl-6-.beta.-D-ribofuranosylimidazo[1,2-c]pyrimidin-  
 5(6H)-one (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





L11 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:157789 CAPLUS  
 DN 136:200422  
 TI Preparation of nucleotides as P2Y12 receptor antagonists and as inhibitors  
 of ADP-induced platelet aggregation  
 IN Boyer, Jose L.; Olins, Gillian M.; Yerxa, Benjamin R.; Douglass, James G.  
 PA Inspire Pharmaceuticals, Inc., USA; University of North Carolina  
 SO PCT Int. Appl., 86 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002016381	A2	20020228	WO 2001-US41818	20010820
	WO 2002016381	A3	20020510		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,				
	HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,				
	LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,				
	RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,				
	UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				
	BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001085470	A5	20020304	AU 2001-85470	20010820
	EP 1311525	A2	20030521	EP 2001-964633	20010820
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	US 2000-643138	A	20000821		
	WO 2001-US41818	W	20010820		

OS MARPAT 136:200422

AB This invention is directed to a method of preventing or treating diseases or conditions assocd. with platelet aggregation. The method is also directed to a method of treating thrombosis. The method comprises administering to a subject a pharmaceutical compn. comprising a therapeutic effective amt. of P2Y12 receptor antagonist compd., wherein said amt. is effective to bind the P2Y12 receptors on platelets and inhibit ADP-induced platelet aggregation. The P2Y12 receptor antagonist compds. useful for this invention include mononucleoside polyphosphates I wherein Q is [X1-P(=T1)(OM)]p; L is [P(=T2)(OM)-X3]m; X1-X3 are independently oxygen, methylene, monochloromethylene, dichloromethylene, monofluoromethylene, difluoromethylene, or imido; T1, T2, W, and V are independently oxygen or sulfur; m = 0, 1 or 2; n = 0 or 1; p = 0, 1, or 2; where the sum of m + n + p is from 1 to 5; M = H or a pharmaceutically-acceptable inorg. or org. counterion; A = M; B is nucleobase; D = O or C; Y = H, OH, or OR1; Z = H, OH, or OR2; with the proviso that at least one of Y and Z is OR1 or OR2; R1 and R2 are residues which are linked directly to the 2' and /or 3'-hydroxy of the furanose or carbocycle or linked directly to two of the 2' and 3'-hydroxyls of the furanose or carbocycle via a common carbon atom. The present invention also provides novel compns. comprising mononucleoside polyphosphates and dinucleoside polyphosphates. Thus, pl-[(4-phenylphenyl)ethenocytidine 5'-]-P4-(cytidine 5'-) tetraphosphate was prepd. and tested on human blood platelets and in rats as P2Y12 receptor antagonists and as inhibitors of ADP-induced platelet aggregation.

IT 401618-87-9P 401618-93-7P 401618-99-3P  
 401619-05-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

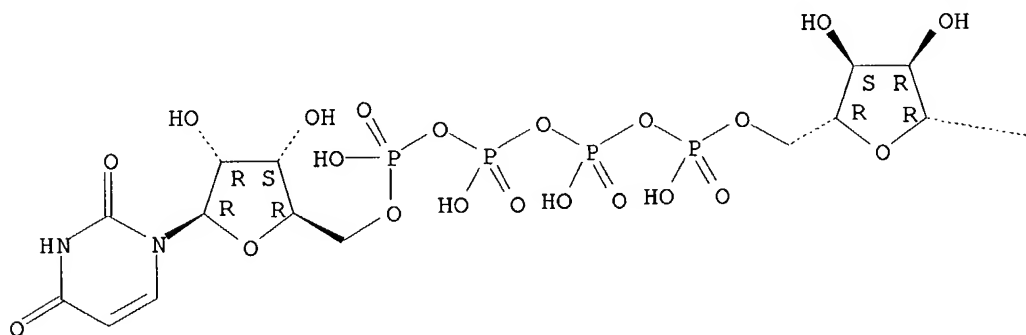
(prepn. of nucleotides as P2Y12 receptor antagonists and as inhibitors of ADP-induced platelet aggregation)

RN 401618-87-9 CAPLUS

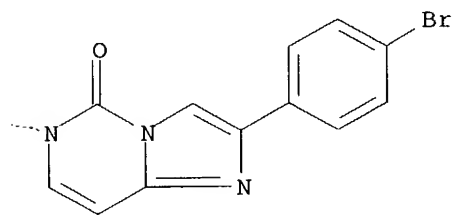
CN Uridine 5'-(pentahydrogen tetraphosphate), P'''-fwdarw.5'-ester with 2-(4-bromophenyl)-6-.beta.-D-ribofuranosylimidazo[1,2-c]pyrimidin-5(6H)-one (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

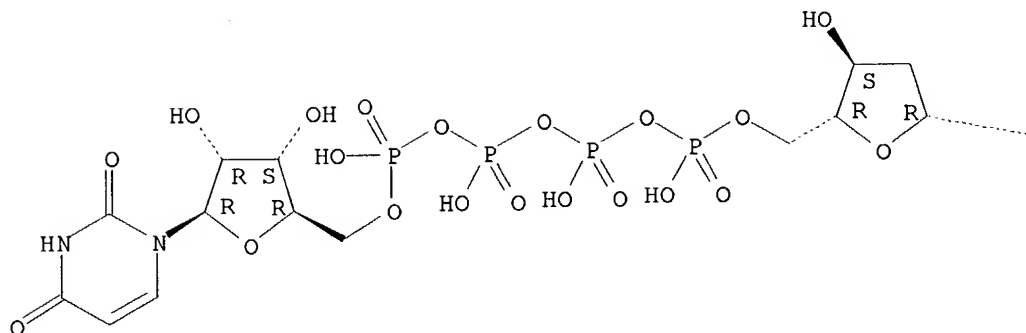


RN 401618-93-7 CAPLUS

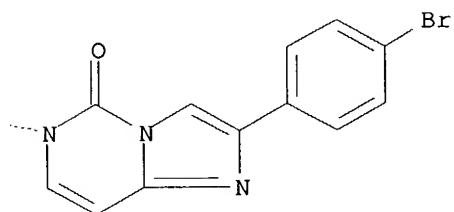
CN Uridine 5'-(pentahydrogen tetraphosphate), P'''-fwdarw.5'-ester with 2-(4-bromophenyl)-6-(2-deoxy-.beta.-D-erythro-pentofuranosyl)imidazo[1,2-c]pyrimidin-5(6H)-one (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

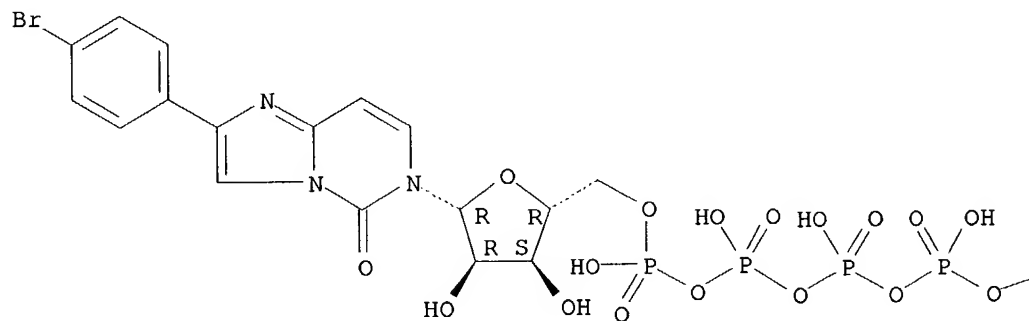


RN 401618-99-3 CAPLUS

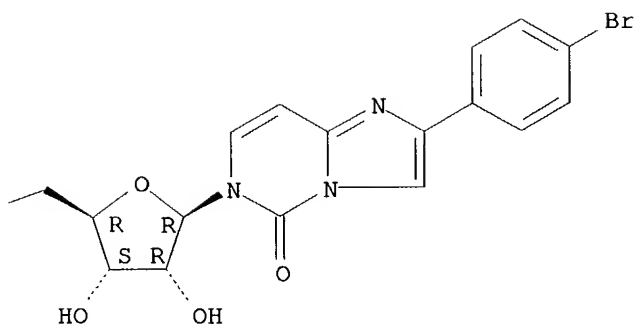
CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-bromophenyl)-6-.beta.-D-ribofuranosyl-, 5',5'''-(P,P',P'',P''')-tetrahydrogen tetraphosphate) (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

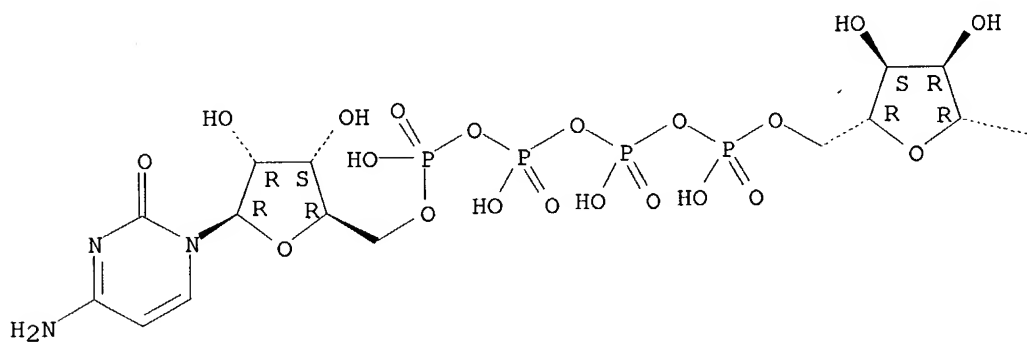


RN 401619-05-4 CAPLUS

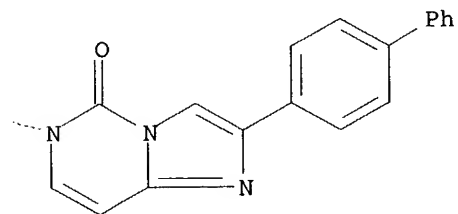
CN Cytidine 5'-(pentahydrogen tetraphosphate), P'''-fwdarw.5'-ester with  
 2-[1,1'-biphenyl]-4-yl-6-.beta.-D-ribofuranosylimidazo[1,2-c]pyrimidin-  
 5(6H)-one (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B





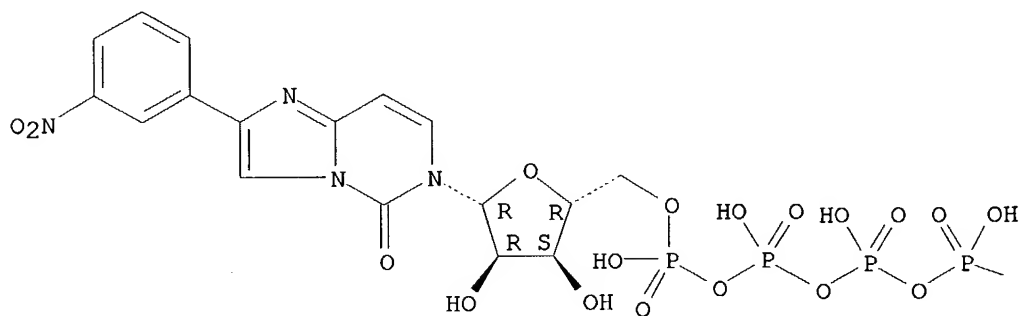
L11 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:136070 CAPLUS  
 DN 136:151393  
 TI Preparation of dinucleotides and their use as modulators of mucociliary clearance and ciliary beat frequency  
 IN Pendergast, William; Yerxa, Benjamin R.; Rideout, Janet L.; Siddiqi, Suhaib M.  
 PA Inspire Pharmaceuticals, Inc., USA  
 SO U.S., 15 pp., Cont.-in-part of U.S. 5,900,407.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6348589	B1	20020219	US 1998-101395	19980710
	US 5900407	A	19990504	US 1997-797472	19970206
	US 5837861	A	19981117	US 1997-798508	19970210
	WO 9834942	A2	19980813	WO 1998-US2702	19980206
	WO 9834942	A3	20000106		
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	US 2002082417	A1	20020627	US 2001-7451	20011106
	US 2003186917	A1	20031002	US 2003-397795	20030325
PRAI	US 1997-797472	A2	19970206		
	US 1997-798508	A2	19970210		
	WO 1998-US2702	W	19980206		
	US 1998-101395	A1	19980710		
	US 2001-774752	A2	20010130		
OS	MARPAT 136:151393				
AB	The present invention relates to certain novel dinucleotides I (X = O, CH2, imido, CF2; B, B1 = independently nucleobase; Z, Z1 = independently OH, N3; Y, Y1 = independently H, OH; Q = (HPO3)m; n = 0-2; m = 0-2; n + m = 0-4) and formulations thereof which are highly selective agonists of the P2Y2 and/or P2Y4 purinergic receptor. They are useful in the treatment of chronic obstructive pulmonary diseases such as chronic bronchitis, PCD, cystic fibrosis, as well as prevention of pneumonia due to immobility. Furthermore, because of their general ability to clear retained mucus secretions and stimulate ciliary beat frequency, the compds. of the present invention are also useful in the treatment of sinusitis, otitis media and nasolacrimal duct obstruction. They are also useful for treatment of dry eye disease and retinal detachment. Thus, P1,P2-di(uridine-5'-)-P2,P3-methylenetetraphosphate was prep'd. as P2Y2 and/or P2Y4 purinergic receptor (EC50 = 11.1 .mu.mol).				
IT	<b>211448-72-5P</b> RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of dinucleotides and their use as modulators of mucociliary clearance and ciliary beat frequency)				
RN	211448-72-5 CAPLUS				
CN	Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[5-O-[hydroxy[[hydroxy[[hydroxy(phosp				

honooxy)phosphinyl]oxy]phosphinyl]oxy]phosphinyl]-.beta.-D-ribofuranosyl]-  
2-(3-nitrophenyl)-, P'''-fwdarw.5'-ester with 2-(3-nitrophenyl)-6-.beta.-D-  
ribofuranosylimidazo[1,2-c]pyrimidin-5(6H)-one, tetraammonium salt (9CI)  
(CA INDEX NAME)

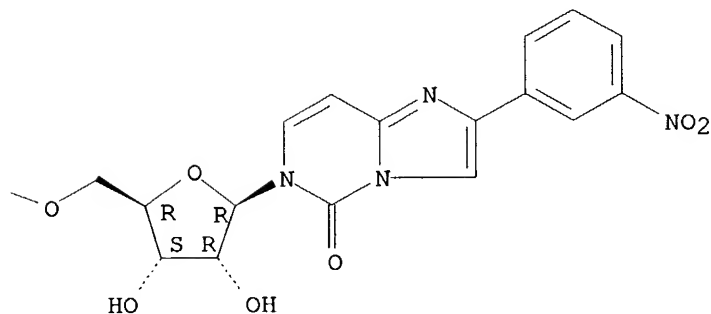
Absolute stereochemistry.

PAGE 1-A



● 4 NH<sub>3</sub>

PAGE 1-B



RE.CNT 93 THERE ARE 93 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2001:763523 CAPLUS  
 DN 135:298823  
 TI Use of P2Y receptor agonist dinucleotide compounds to stimulate removal of fluid in retinal detachment and retinal edema  
 IN Peterson, Ward M.; Yerxa, Benjamin R.  
 PA Peterson, Ward M., USA  
 SO U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U.S. 5,837,861.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2001031743	A1	20011018	US 2001-774752	20010130
	US 6596725	B2	20030722		
	US 5837861	A	19981117	US 1997-798508	19970210
	ZA 9801073	A	19990219	ZA 1998-1073	19980210
	US 2002103158	A1	20020801	US 2001-817017	20010323
	US 6555675	B2	20030429		
	WO 2002060454	A2	20020808	WO 2002-US3934	20020129
	WO 2002060454	A3	20021227		
	WO 2002060454	B1	20030213		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP	1355652	A2	20031029	EP 2002-714865	20020129
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2003186917	A1	20031002	US 2003-397795	20030325
PRAI	US 1997-798508	A2	19970210		
	WO 1998-US2702	W	19980206		
	US 1998-101395	A2	19980710		
	US 2001-774752	A2	20010130		
	US 2001-817017	A	20010323		
	WO 2002-US3934	W	20020129		

OS MARPAT 135:298823

AB The invention provides a method of treating edematous retinal disorders. The method comprises administration of a P2Y receptor agonist to stimulate the removal of pathol. extraneous fluid from the subretinal and retinal spaces and thereby reduce the accumulation of said fluid assocd. with retinal detachment and retinal edema. The P2Y receptor agonist may be administered with therapeutic and adjuvant agents commonly used to treat edematous retinal disorders. The pharmaceutical compn. useful in this invention comprises a P2Y receptor agonist with enhanced resistance to extracellular hydrolysis, such as dinucleoside polyphosphate compds.

IT 211448-72-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(P2Y receptor agonist dinucleotide compds. to stimulate removal of

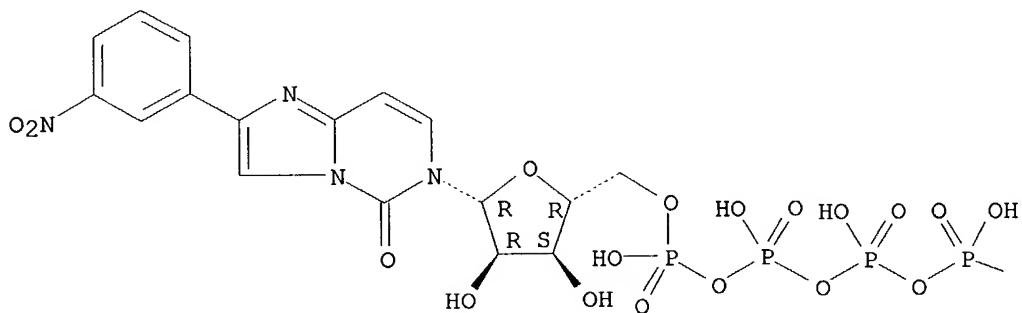
fluid in retinal detachment and retinal edema)

RN 211448-72-5 CAPLUS

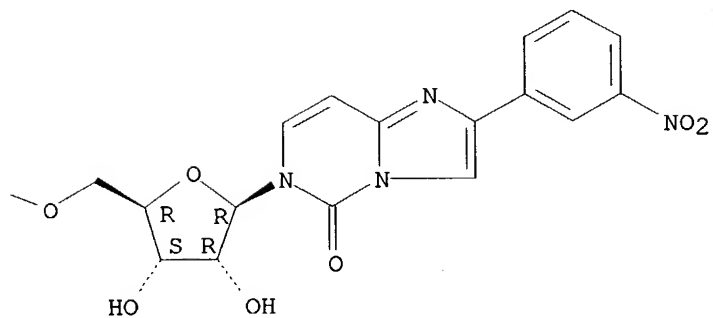
CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[5-O-[hydroxy[[hydroxy[[hydroxy(phosphonoxy)phosphinyl]oxy]phosphinyl]oxy]phosphinyl]-.beta.-D-ribofuranosyl]-2-(3-nitrophenyl)-, P'''-fwdarw.5'-ester with 2-(3-nitrophenyl)-6-.beta.-D-ribofuranosylimidazo[1,2-c]pyrimidin-5(6H)-one, tetraammonium salt (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

● 4 NH<sub>3</sub>

PAGE 1-B



L11 ANSWER 12 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2000:457085 CAPLUS  
 DN 133:85091  
 TI Targeted gene transfer and internalization of virus vectors using G  
 protein coupled receptors  
 IN Boucher, Richard C., Jr.; Pickles, Raymond J.; Rideout, Janet L.;  
 Pendergast, William; Yerxa, Benjamin R.; Douglass, James G., III  
 PA The University of North Carolina At Chapel Hill, USA  
 SO PCT Int. Appl., 86 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039145	A1	20000706	WO 1999-US30658	19991222
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 1140967 A1 20011010 EP 1999-966577 19991222 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO PRAI US 1998-219672 A 19981223 US 1998-219698 A 19981223 WO 1999-US30658 W 19991222				

OS MARPAT 133:85091

AB A method of delivering foreign nucleic acid (e.g., a gene) into a cell by  
 attaching a virus contg. the nucleic acid to a G protein coupled receptor  
 (i.e., a seven transmembrane receptor such as the P2Y2 receptor) that is  
 internalized upon ligand binding. The virus may be attached to the  
 receptor by means of a bridging antibody, or by binding an antibody  
 specific for the receptor with an antibody specific for the virus, wherein  
 the antibody that specifically binds with the receptor and the antibody  
 that specifically binds to the virus are cross-linked. Alternatively, the  
 virus may express a peptide that specifically binds to the receptor. The  
 receptor may be induced to internalize by means of the addn. of a ligand  
 known to trigger internalization of the receptor into the cell.  
 Purinoceptors. specifically the P2Y2 receptor, were identified on the  
 surface of the apical surface of the airway epithelium. Cells presenting  
 the P2Y2 receptor contg. a hemagglutinin epitope were incubated with  
 adenovirus carrying a lacZ reporter gene and labeled with a bispecific  
 antibody to the epitope and the knob protein of adenovirus. The virus was  
 rapidly internalized upon exposing the cells to ATP.gamma.S.

IT 280549-89-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(prepn. and reactions of; targeted gene transfer and internalization of  
 virus vectors using G protein coupled receptors)

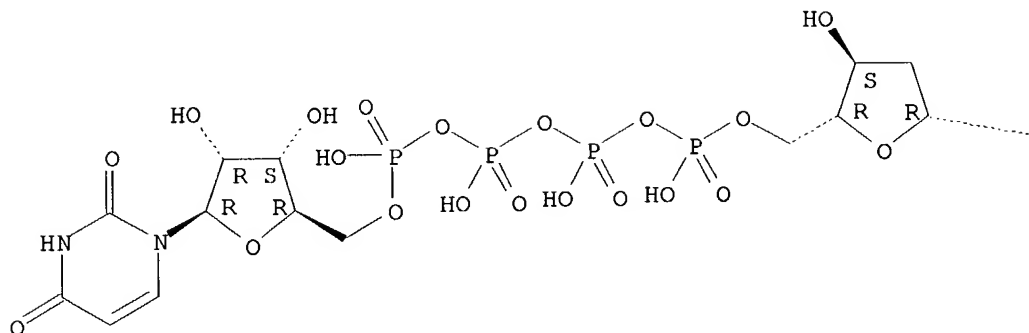
RN 280549-89-5 CAPLUS

CN Uridine 5'-(pentahydrogen tetraphosphate), P'''-fwdarw.5'-ester with  
 6-bromo-N-[4-[6-(2-deoxy-.beta.-D-erythro-pentofuranosyl)-5,6-dihydro-5-  
 oxoimidazo[1,2-c]pyrimidin-2-yl]phenyl]hexanamide, tetraammonium salt

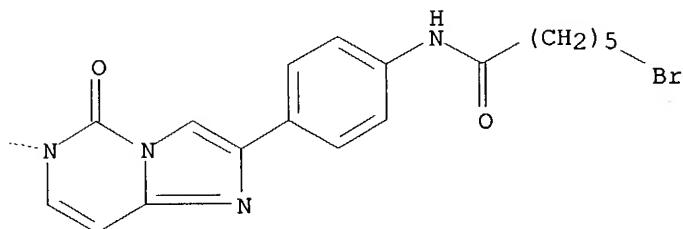
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

● 4 NH<sub>3</sub>

PAGE 1-B



IT 280549-91-9P 280549-92-0P

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

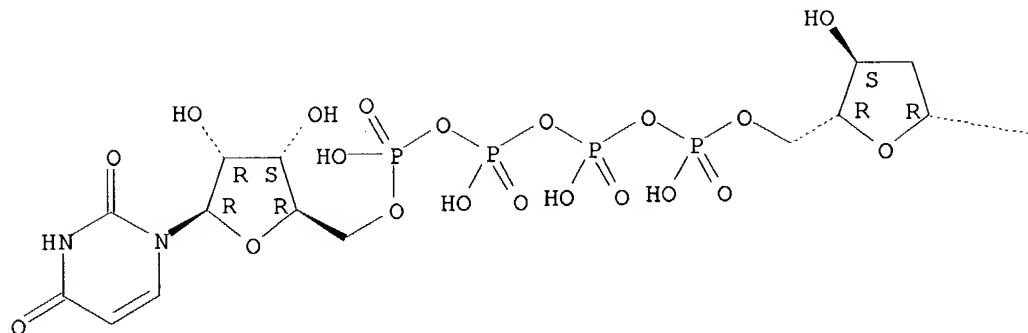
(prepn. and uses of; targeted gene transfer and internalization of virus vectors using G protein coupled receptors)

RN 280549-91-9 CAPLUS

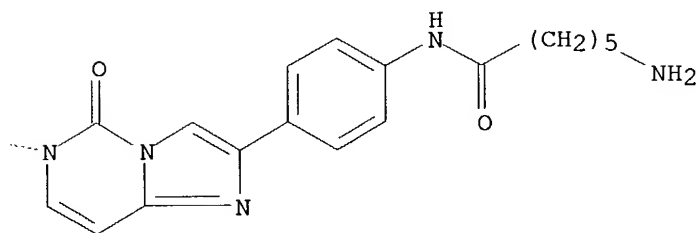
CN Uridine 5'-(pentahydrogen tetraphosphate), P'''-fwdarw.5'-ester with 6-amino-N-[4-[6-(2-deoxy-.beta.-D-erythro-pentofuranoyl)-5,6-dihydro-5-oxoimidazo[1,2-c]pyrimidin-2-yl]phenyl]hexanamide, tetraammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

● 4 NH<sub>3</sub>

PAGE 1-B

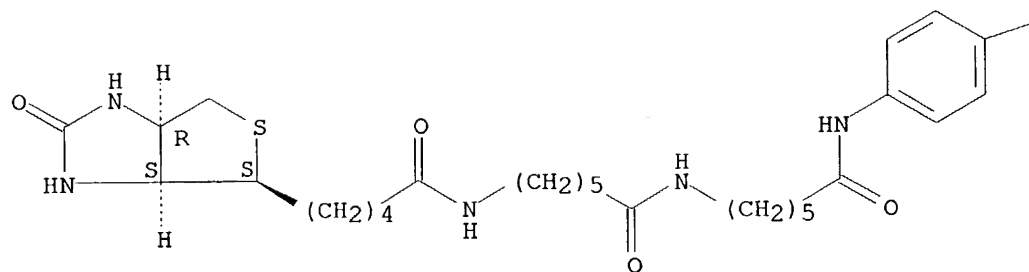


RN 280549-92-0 CAPLUS

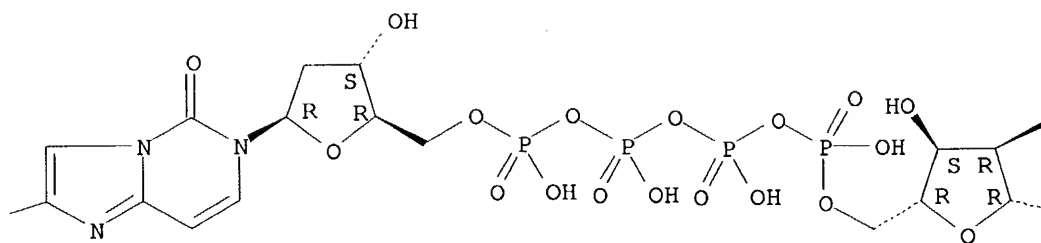
CN Uridine 5'-(pentahydrogen tetraphosphate), P'''-fwdarw.5'-ester with (3aS,4S,6aR)-N-[6-[[6-[[4-[6-(2-deoxy-.beta.-D-erythro-pentofuranoyl)-5,6-dihydro-5-oxoimidazo[1,2-c]pyrimidin-2-yl]phenyl]amino]-6-oxohexyl]amino]-6-oxohexyl]hexahydro-2-oxo-1H-thieno[3,4-d]imidazole-4-pentanamide, tetraammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

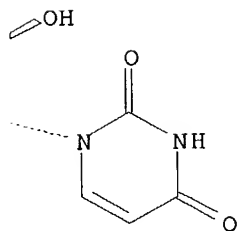
PAGE 1-A

● 4 NH<sub>3</sub>

PAGE 1-B



PAGE 1-C



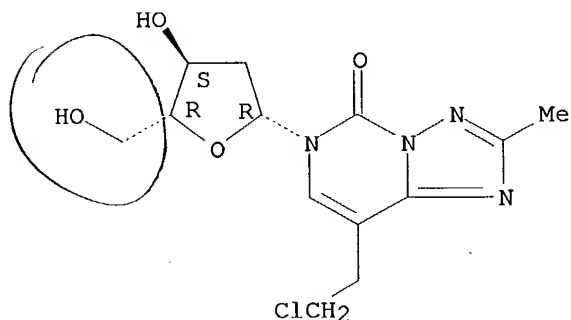
RE.CNT 7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



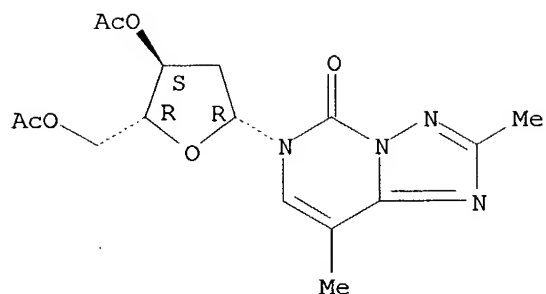
L11 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1999:303372 CAPLUS  
 DN 131:59079  
 TI A Dimroth rearrangement of pyrimidine nucleosides  
 AU Loakes, David; Brown, Daniel M.; Salisbury, Stephen A.  
 CS Laboratory of Molecular Biology, Medical Research Council, Cambridge, CB2 2QH, UK  
 SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1999), (10), 1333-1338  
 CODEN: JCPRB4; ISSN: 0300-922X  
 PB Royal Society of Chemistry  
 DT Journal  
 LA English  
 OS CASREACT 131:59079  
 AB N4-Acylamino-2'-deoxycytidine derivs. undergo acid-promoted cyclization to give [1,2,4]triazolo[4,3-c]pyrimidin-5(6H)-ones in the presence of pyridinium chloride. This reaction has been demonstrated for a series of analogs. Treatment of the cyclized products in basic media gives rise to a novel Dimroth-type rearrangement leading to [1,2,4]triazolo[1,5-c]pyrimidin-5(6H)-ones. The crystal structure of one such product was confirmed by X-ray anal.  
 IT **228406-30-2P**  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
 (Dimroth rearrangement of pyrimidine nucleosides)  
 RN 228406-30-2 CAPLUS  
 CN [1,2,4]Triazolo[1,5-c]pyrimidin-5(6H)-one, 8-(2-chloroethyl)-6-(2-deoxy-.beta.-D-erythro-pentofuranosyl)-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **209159-60-4P 228406-24-4P 228406-40-4P**  
**228406-41-5P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (Dimroth rearrangement of pyrimidine nucleosides)  
 RN 209159-60-4 CAPLUS  
 CN [1,2,4]Triazolo[1,5-c]pyrimidin-5(6H)-one, 6-(3,5-di-O-acetyl-2-deoxy-.beta.-D-erythro-pentofuranosyl)-2,8-dimethyl- (9CI) (CA INDEX NAME)

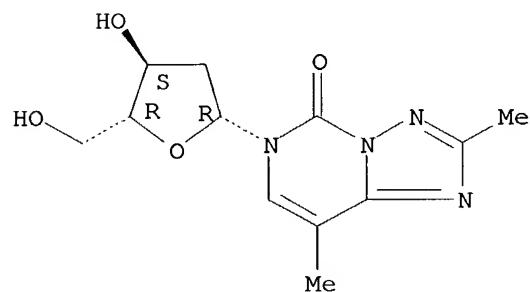
Absolute stereochemistry.



RN 228406-24-4 CAPLUS

CN [1,2,4]Triazolo[1,5-c]pyrimidin-5(6H)-one, 6-(2-deoxy-.beta.-D-erythro-pentofuranosyl)-2,8-dimethyl- (9CI) (CA INDEX NAME)

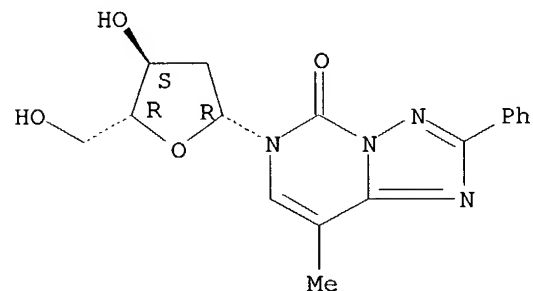
Absolute stereochemistry.



RN 228406-40-4 CAPLUS

CN [1,2,4]Triazolo[1,5-c]pyrimidin-5(6H)-one, 6-(2-deoxy-.beta.-D-erythro-pentofuranosyl)-8-methyl-2-phenyl- (9CI) (CA INDEX NAME)

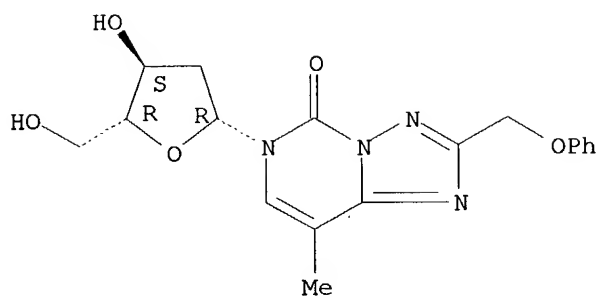
Absolute stereochemistry.



RN 228406-41-5 CAPLUS

CN [1,2,4]Triazolo[1,5-c]pyrimidin-5(6H)-one, 6-(2-deoxy-.beta.-D-erythro-pentofuranosyl)-8-methyl-2-(phenoxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 11      THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1998:550430 CAPLUS  
 DN 129:175919  
 TI Preparation of dinucleotides and their use as modulators of mucociliary clearance and ciliary beat frequency  
 IN Pendergast, William; Yerxa, Benjamin R.; Rideout, Janet L.; Siddiqi, Suhaib M.  
 PA Inspire Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 49 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9834942	A2	19980813	WO 1998-US2702	19980206
	WO 9834942	A3	20000106		
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	US 5900407	A	19990504	US 1997-797472	19970206
	US 5837861	A	19981117	US 1997-798508	19970210
	AU 9863242	A1	19980826	AU 1998-63242	19980206
	AU 738907	B2	20010927		
	EP 981534	A2	20000301	EP 1998-907435	19980206
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
	BR 9807169	A	20000606	BR 1998-7169	19980206
	JP 2001526635	T2	20011218	JP 1998-535055	19980206
	NZ 337225	A	20020328	NZ 1998-337225	19980206
	US 6348589	B1	20020219	US 1998-101395	19980710
	NO 9903776	A	19991006	NO 1999-3776	19990804
	US 2002082417	A1	20020627	US 2001-7451	20011106
	US 2003036527	A1	20030220	US 2002-163804	20020605
	US 6673779	B2	20040106		
	US 2003186917	A1	20031002	US 2003-397795	20030325
PRAI	US 1997-797472	A2	19970206		
	US 1997-798508	A2	19970210		
	WO 1998-US2702	W	19980206		
	US 1998-101395	A1	19980710		
	US 1998-101840	A2	19980717		
	US 2001-774752	A2	20010130		
	US 2001-7451	A2	20011106		

OS MARPAT 129:175919

AB The present invention relates to certain novel dinucleotides I (X = O, CH<sub>2</sub>, imido, CF<sub>2</sub>; B, B1 = independently nucleobase; Z, Z1 = independently OH, N<sub>3</sub>; Y, Y1 = independently H, OH; Q = (HPO<sub>3</sub>)<sub>m</sub>; n = 0-2; m = 0-2; n + m = 0-4) and formulations thereof which are highly selective agonists of the P<sub>2</sub>Y<sub>2</sub> and/or P<sub>2</sub>Y<sub>4</sub> purinergic receptor. They are useful in the treatment of chronic obstructive pulmonary diseases such as chronic bronchitis, PCD, cystic fibrosis, as well as prevention of pneumonia due to immobility. Furthermore, because of their general ability to clear retained mucus secretions and stimulate ciliary beat frequency, the compds. of the

present invention are also useful in the treatment of sinusitis, otitis media and nasolacrimal duct obstruction. They are also useful for treatment of dry eye disease and retinal detachment. Thus, P1, P2-di(uridine-5')-P2, P3-methylenetetraphosphate was prepd. as P2Y2 and/or P2Y4 purinergic receptor (EC50 = 11.1 .mu.mol).

IT 211448-72-5P

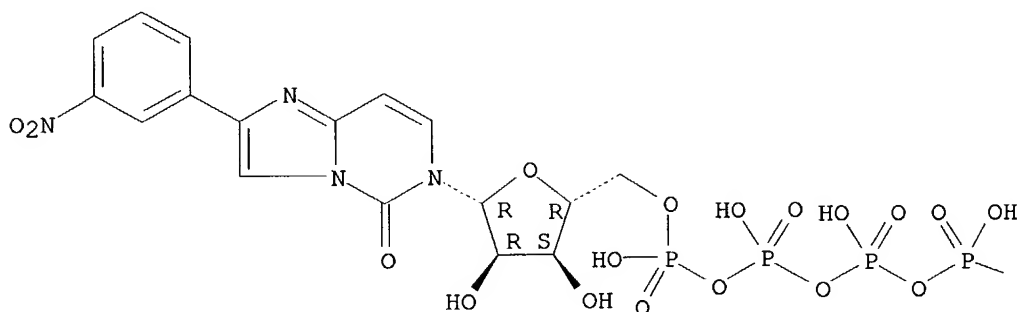
211448-72-52  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of dinucleotides and their use as modulators of mucociliary clearance and ciliary beat frequency)

RN 211448-72-5 CAPLUS

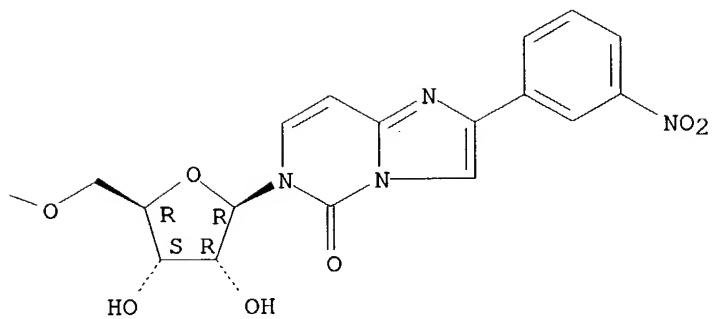
211440 72 3 CASBOS  
CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[5-O-[hydroxy[[hydroxy[[hydroxy(phosphonoxy)phosphinyl]oxy]phosphinyl]oxy]phosphinyl]-.beta.-D-ribofuranosyl]-2-(3-nitrophenyl)-, P'''-fwdarw.5'-ester with 2-(3-nitrophenyl)-6-.beta.-D-ribofuranosylimidazo[1,2-c]pyrimidin-5(6H)-one, tetraammonium salt (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

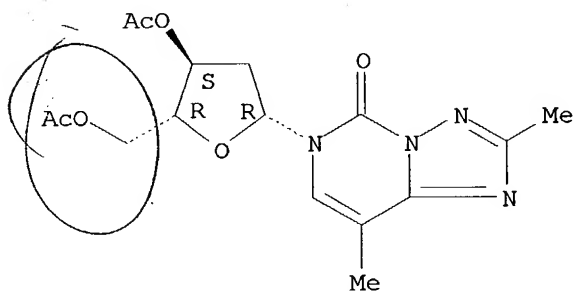


● 4 NH<sub>3</sub>



L11 ANSWER 16 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1998:332717 CAPLUS  
 DN 129:81907  
 TI Cyclization and rearrangement of N4-acylaminodeoxycytidines  
 AU Loakes, David; Brown, Daniel M.; Salisbury, Stephen A.  
 CS Medical Res. Council, Center Protein Engineering, Cambridge, CB2 2QH, UK  
 SO Tetrahedron Letters (1998), 39(22), 3865-3868  
 CODEN: TELEAY; ISSN: 0040-4039  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 AB N4-Acetylamino-2'-deoxycytidine undergoes an acid promoted cyclization to give a 1,2,4-triazolo[4,3-c]pyrimidinone which, under basic conditions, isomerizes via a Dimroth-type rearrangement to the 1,2,4-triazole[1,5-c]pyrimidinone.  
 IT **209159-60-4P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (cyclization and rearrangement of N-acylaminodeoxycytidines)  
 RN 209159-60-4 CAPLUS  
 CN [1,2,4]Triazolo[1,5-c]pyrimidin-5(6H)-one, 6-(3,5-di-O-acetyl-2-deoxy-.beta.-D-erythro-pentofuranosyl)-2,8-dimethyl- (9CI) (CA INDEX NAME)

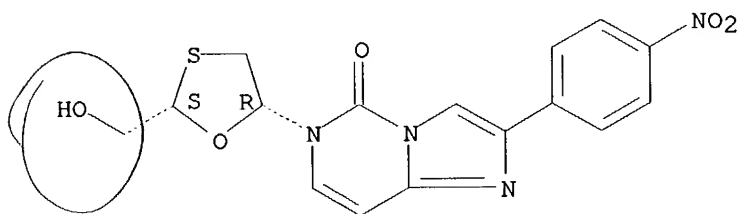
Absolute stereochemistry.



RE.CNT 8      THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

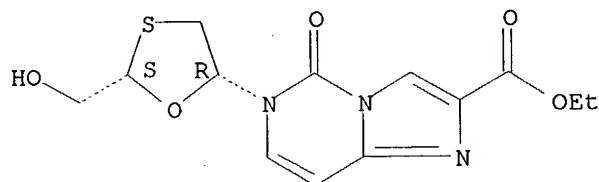
L11 ANSWER 17 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1997:757803 CAPLUS  
 DN 128:84026  
 TI Structure-activity relationship of pyrimidine heterosubstituted nucleoside analogs  
 AU Mansour, Tarek S.; Evans, Colleen A.; Siddiqui, M. Arshad; Charron, Marie; Zacharie, Boulos; Nguyen-Ba, Nghe; Lee, Nola; Korba, Brent  
 CS BioChem Therapeutic Inc., Laval, QC, H7V 4A7, Can.  
 SO Nucleosides & Nucleotides (1997), 16(7-9), 993-1001  
 CODEN: NUNUD5; ISSN: 0732-8311  
 PB Marcel Dekker, Inc.  
 DT Journal  
 LA English  
 AB The structure-activity relation of sixteen 3-deaza, C-4 substituted pyrimidines and imidazo[1,2-c]pyrimidine bases of 1,3-oxathiolanes and 1,3-dioxolanes revealed good anti-HBV activity in 2.2.15 cells transfected with human hepatitis B virus of the imidazo[1,2-c]pyrimidine nucleosides. Two procedures for the prepn. of C-4 substituted analogs are reported based on nucleophilic displacement of a sulfonamide or imidazole by a variety of nitrogen nucleophiles.  
 IT **188251-77-6P 188251-78-7P 188251-80-1P**  
**188251-82-3P 188251-83-4P 188251-96-9P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. and anti-hepatitis B virus structure-activity relationship of pyrimidine heterosubstituted nucleoside analogs)  
 RN 188251-77-6 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2-(4-nitrophenyl)-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188251-78-7 CAPLUS  
 CN Imidazo[1,2-c]pyrimidine-2-carboxylic acid, 5,6-dihydro-6-[2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-5-oxo-, ethyl ester, (2S-cis)- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.

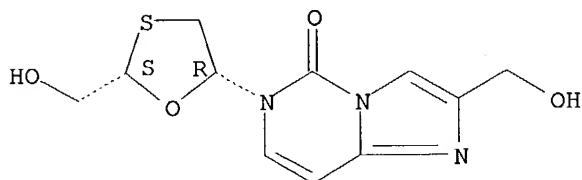




RN 188251-80-1 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(hydroxymethyl)-6-[(2S,5R)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]- (9CI) (CA INDEX NAME)

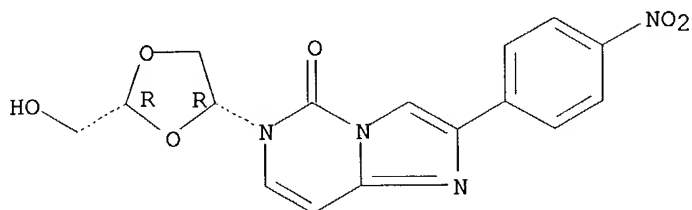
Absolute stereochemistry. Rotation (+).



RN 188251-82-3 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

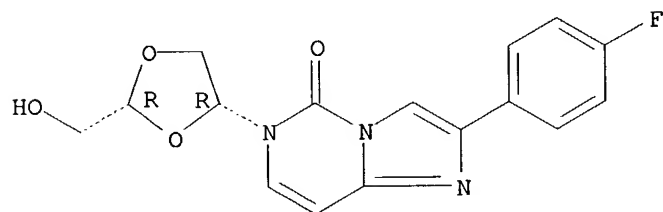
Absolute stereochemistry.



RN 188251-83-4 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-fluorophenyl)-6-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

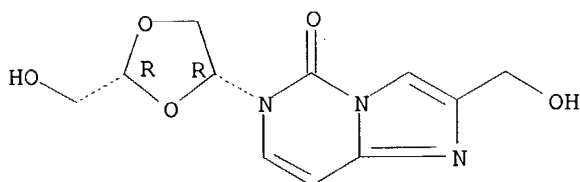
Absolute stereochemistry.



RN 188251-96-9 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(hydroxymethyl)-6-[2-(hydroxymethyl)-1,3-dioxolan-4-yl]-, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 188251-79-8P 188251-84-5P 188251-87-8P

188251-98-1P 188251-99-2P

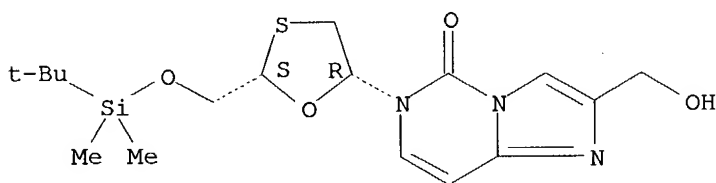
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and anti-hepatitis B virus structure-activity relationship of pyrimidine heterosubstituted nucleoside analogs)

RN 188251-79-8 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2S,5R)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,3-oxathiolan-5-yl]-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)

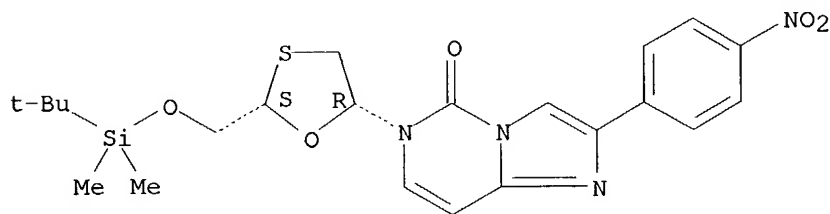
Absolute stereochemistry.



RN 188251-84-5 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,3-oxathiolan-5-yl]-2-(4-nitrophenyl)-, (2S-cis)- (9CI) (CA INDEX NAME)

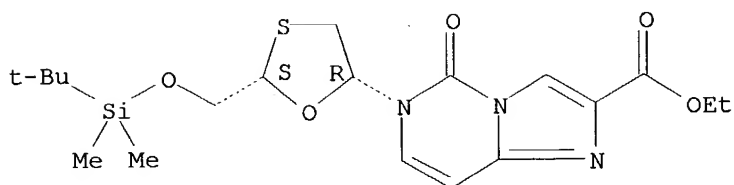
Absolute stereochemistry.



RN 188251-87-8 CAPLUS

CN Imidazo[1,2-c]pyrimidine-2-carboxylic acid, 6-[(2S,5R)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,3-oxathiolan-5-yl]-5,6-dihydro-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)

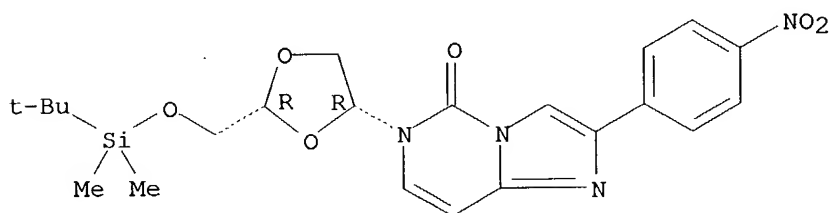
Absolute stereochemistry.



RN 188251-98-1 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,3-dioxolan-4-yl]-2-(4-nitrophenyl)-, (2R-cis)- (9CI) (CA INDEX NAME)

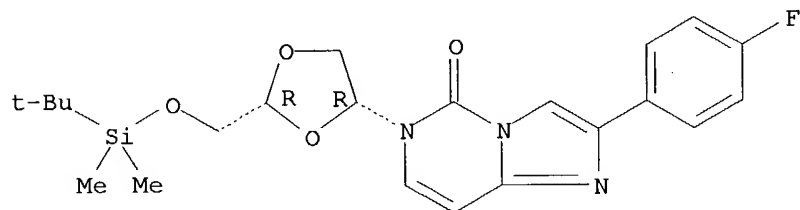
Absolute stereochemistry.



RN 188251-99-2 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,3-dioxolan-4-yl]-2-(4-fluorophenyl)-, (2R-cis)- (9CI) (CA INDEX NAME)

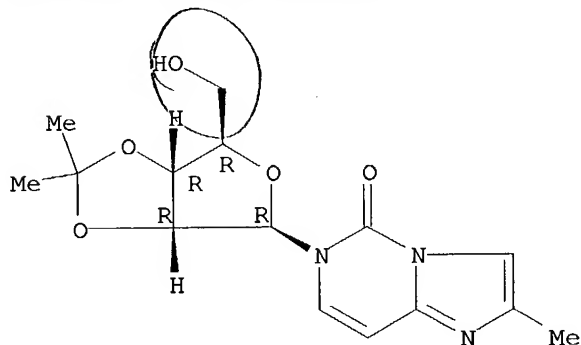
Absolute stereochemistry.



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1997:437580 CAPLUS  
 DN 127:109143  
 TI Synthesis of some 4,5-cyclo cytosine nucleosides  
 AU Ahmed, A. F. Sayed  
 CS Fac. Sci., Zagazig Univ., Zagazig, Egypt  
 SO Bulletin of the Polish Academy of Sciences, Chemistry (1997), Volume Date  
 1996, 44(4), 209-213  
 CODEN: BPACEQ; ISSN: 0239-7285  
 PB Polish Academy of Sciences  
 DT Journal  
 LA English  
 AB Synthesis of some 4,5-cyclo cytosine nucleoside derivs. was performed by  
 the individual reactions of 5-hydroxy, 5-mercapto and 5-amino cytidines  
 with chloroethyl formate, thionyl chloride and thiophosgene, successively.  
 On the other hand, reactions of cytidine with chloroacetone or  
 chloropropionaldehyde produced 3,4-cyclized cytidine products.  
 IT **188532-28-7P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of some cyclo cytosine nucleosides)  
 RN 188532-28-7 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-methyl-6-[2,3-O-(1-methylethylidene)-  
 .beta.-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:211716 CAPLUS

DN 126:251347

TI Synthesis of some cytidine derivatives

AU Sayed ahmed, A. F.; El-Kafrawi, E.

CS Faculty of Science, Zagazig University, Zagazig, Egypt

SO Egyptian Journal of Pharmaceutical Sciences (1996), 37(1-6), 501-507

CODEN: EJPSBZ; ISSN: 0301-5068

PB National Information and Documentation Centre

DT Journal

LA English

AB Synthesis of some cytidine derivs. involving 4,5-cyclization are performed by the individual reactions of both of 5-hydroxy, 5-mercapto, and 5-amino cytidines with chloroethyl formate, thionyl chloride, and thiophosgene successively. On the other hand, reactions of cytidine with chloroacetone or chloropropionaldehyde give 3,4-cyclized cytidine products.

IT 188532-28-7P

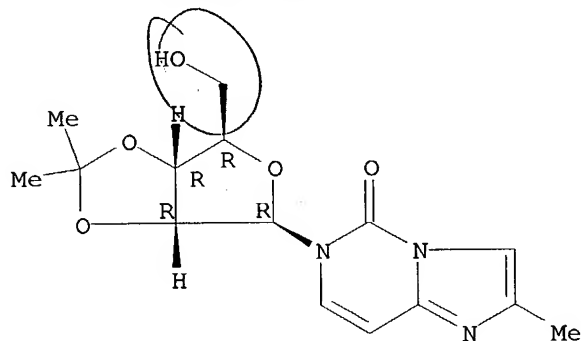
RL: SPN (Synthetic preparation); PREP (Preparation)

(cyclocondensation of cytidine with chloroethyl formate, thionyl chloride, and thiophosgene)

RN 188532-28-7 CAPLUS

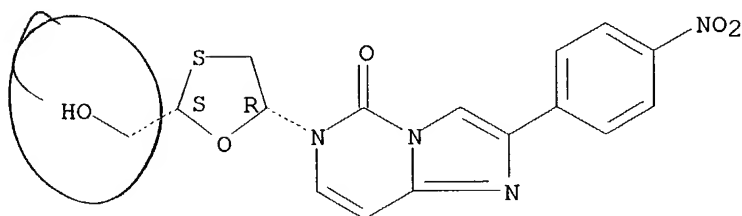
CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-methyl-6-[2,3-O-(1-methylethylidene)-.beta.-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



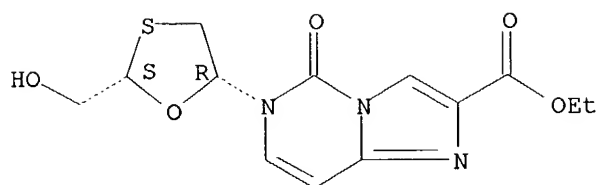
L11 ANSWER 20 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1997:119490 CAPLUS  
 DN 126:225501  
 TI Discovery of imidazo[1,2-c]pyrimidin-5(6H)-one heterosubstituted nucleoside analogs with potent activity against human hepatitis B virus in vitro  
 AU Mansour, Tarek S.; Evans, Colleen A.; Charron, Marie; Korba, Brent E.  
 CS BioChem Therapeutic Inc., Laval, QC, H7V 4A7, Can.  
 SO Bioorganic & Medicinal Chemistry Letters (1997), 7(3), 303-308  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier  
 DT Journal  
 LA English  
 AB Eleven novel imidazo[1,2-c]pyrimidin-5(6H)-one dideoxynucleoside analogs in which the sugar ring is 1,3-dioxolane or 1,3-oxathiolane were synthesized and their in vitro antihepatitis B virus (HBV) activities were compared in the chronically HBV-producing human cell line 2.2.15. Seven nucleoside analogs (including I, which possesses trans relative stereochem.) displayed good potency and selectivity towards HBV. None of the tested imidazo[1,2-c]pyrimidines inhibited the replication of HIV-1 in MT-4 cells.  
 IT **188251-77-6P 188251-78-7P 188251-80-1P**  
**188251-82-3P 188251-83-4P 188251-85-6P**  
**188251-96-9P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. of imidazopyrimidinone heterosubstituted nucleoside analogs with potent activity against human hepatitis B virus in vitro)  
 RN 188251-77-6 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2-(4-nitrophenyl)-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188251-78-7 CAPLUS  
 CN Imidazo[1,2-c]pyrimidine-2-carboxylic acid, 5,6-dihydro-6-[2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-5-oxo-, ethyl ester, (2S-cis)- (9CI)  
 (CA INDEX NAME)

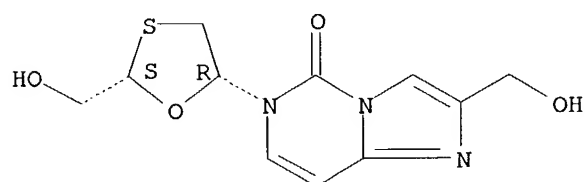
Absolute stereochemistry.



RN 188251-80-1 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(hydroxymethyl)-6-[(2S,5R)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]- (9CI) (CA INDEX NAME)

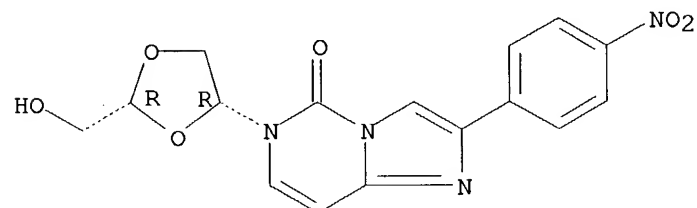
Absolute stereochemistry. Rotation (+).



RN 188251-82-3 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

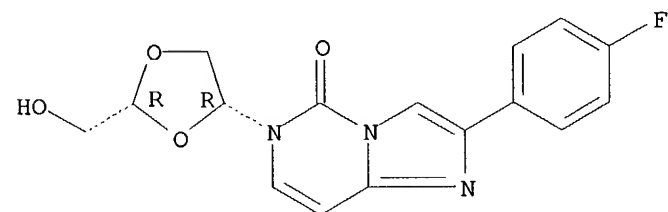
Absolute stereochemistry.



RN 188251-83-4 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-fluorophenyl)-6-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

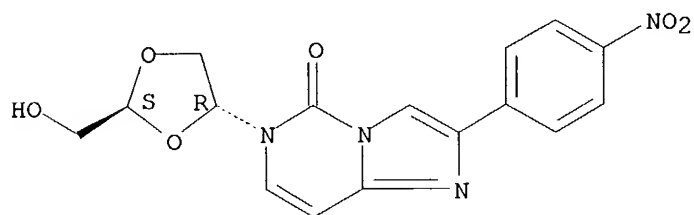
Absolute stereochemistry.



RN 188251-85-6 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2S,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

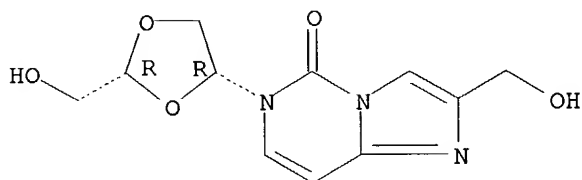
Absolute stereochemistry.



RN 188251-96-9 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(hydroxymethyl)-6-[2-(hydroxymethyl)-1,3-dioxolan-4-yl]-, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 188251-79-8P 188251-84-5P 188251-87-8P

188251-94-7P 188251-95-8P 188251-98-1P

188251-99-2P

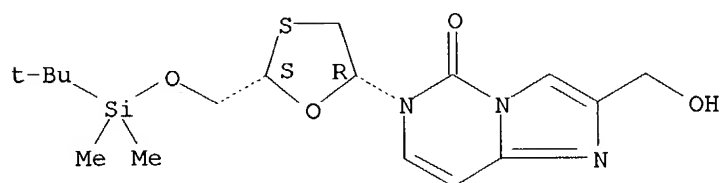
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of imidazopyrimidinone heterosubstituted nucleoside analogs with potent activity against human hepatitis B virus in vitro)

RN 188251-79-8 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2S,5R)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,3-oxathiolan-5-yl]-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

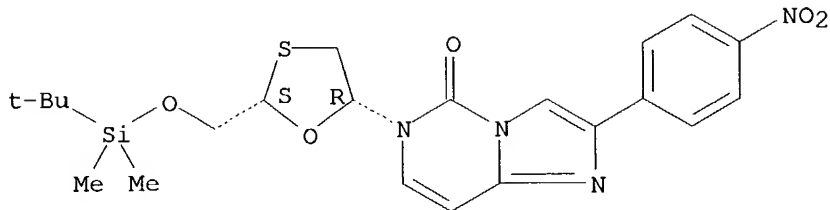


RN 188251-84-5 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,3-oxathiolan-5-yl]-2-(4-nitrophenyl)-, (2S-cis)- (9CI) (CA INDEX NAME)



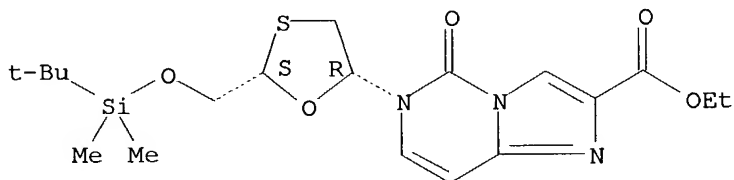
Absolute stereochemistry.



RN 188251-87-8 CAPLUS

CN Imidazo[1,2-c]pyrimidine-2-carboxylic acid, 6-[(2S,5R)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,3-oxathiolan-5-yl]-5,6-dihydro-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)

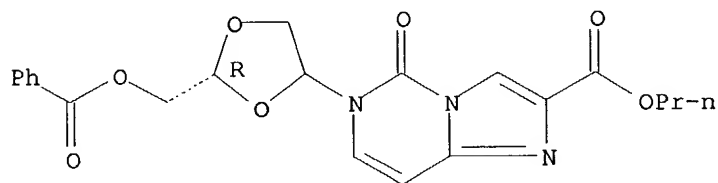
Absolute stereochemistry.



RN 188251-94-7 CAPLUS

CN Imidazo[1,2-c]pyrimidine-2-carboxylic acid, 6-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5,6-dihydro-5-oxo-, propyl ester, (2R)- (9CI) (CA INDEX NAME)

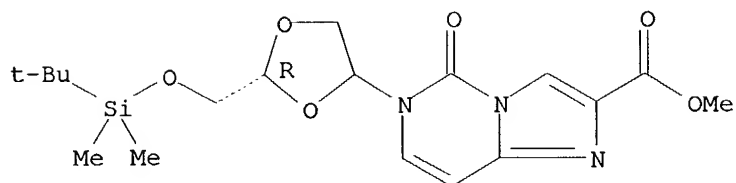
Absolute stereochemistry.



RN 188251-95-8 CAPLUS

CN Imidazo[1,2-c]pyrimidine-2-carboxylic acid, 6-[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,3-dioxolan-4-yl]-5,6-dihydro-5-oxo-, methyl ester, (2R)- (9CI) (CA INDEX NAME)

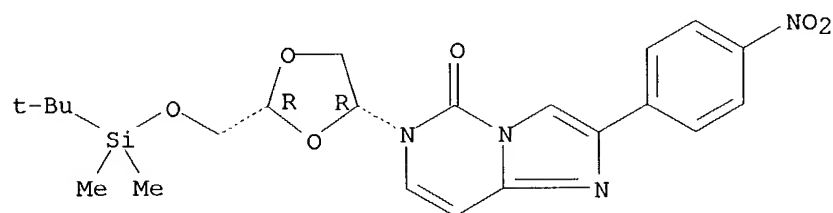
Absolute stereochemistry.



RN 188251-98-1 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,3-dioxolan-4-yl]-2-(4-nitrophenyl)-, (2R-cis)- (9CI) (CA INDEX NAME)

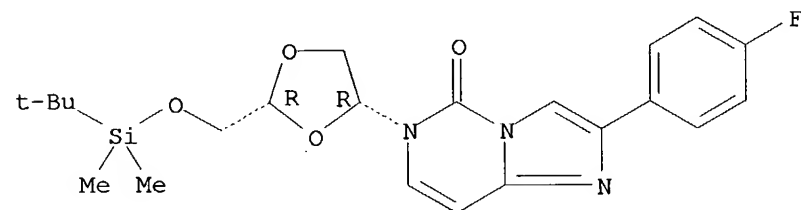
Absolute stereochemistry.



RN 188251-99-2 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,3-dioxolan-4-yl]-2-(4-fluorophenyl)-, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **188251-97-0P**

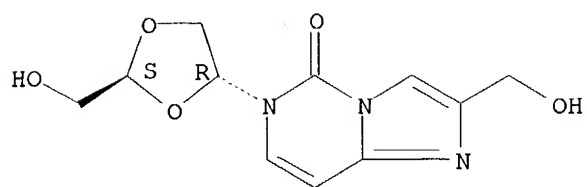
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of imidazopyrimidinone heterosubstituted nucleoside analogs  
with potent activity against human hepatitis B virus in vitro)

RN 188251-97-0 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(hydroxymethyl)-6-[2-(hydroxymethyl)-1,3-dioxolan-4-yl]-, (2S-trans)- (9CI) (CA INDEX NAME)

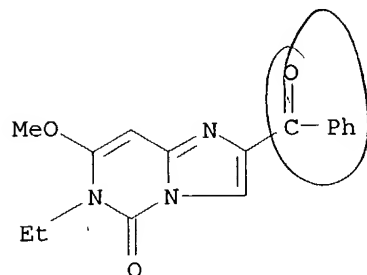
Absolute stereochemistry.

10/634,225

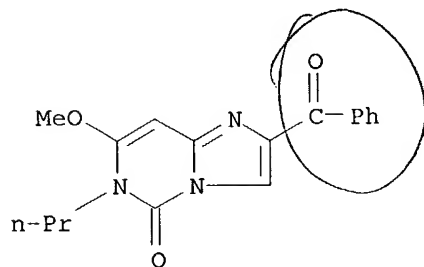


RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

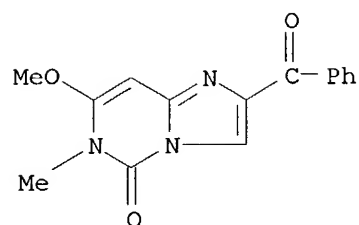
L11 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1989:534075 CAPLUS  
 DN 111:134075  
 TI Synthesis of (imidazo[1,2-c]pyrimidin-2-yl)phenylmethanones and  
 6-benzoylpyrrolo[2,3-d]pyrimidinones  
 AU Danswan, Geoffrey; Kennewell, Peter D.; Tully, W. Roger  
 CS Roussel Lab. Ltd., Wiltshire, SN3 5BZ, UK  
 SO Journal of Heterocyclic Chemistry (1989), 26(2), 293-9  
 CODEN: JHTCAD; ISSN: 0022-152X  
 DT Journal  
 LA English  
 OS CASREACT 111:134075  
 AB 4-Pyrimidinamines have been reacted with 3-bromo-1-phenylpropane-1,2-dione  
 to give a series of (imidazopyrimidinyl)phenylmethanones I (R1 = MeO, MeS;  
 R2 = Me, Et, MeS, MeO, EtO, H, Pr, CH2:CHCH2). The dione also reacted  
 with Et amidinoacetate to yield Et 2-amino-5-benzoylpyrrole-2-carboxylate  
 which was used to prep. a series of benzoylpyrrolopyrimidines II (R3 = H,  
 Me, null; R4 = O, S, MeO, MeS; R5 = H, Me).  
 IT **98567-04-5P 98567-05-6P 98567-09-0P**  
**98567-11-4P 98567-16-9P 98567-17-0P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 98567-04-5 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-6-ethyl-7-methoxy- (9CI) (CA  
 INDEX NAME)



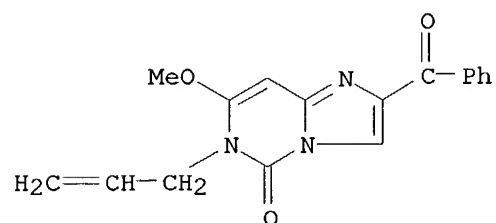
RN 98567-05-6 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-7-methoxy-6-propyl- (9CI)  
 (CA INDEX NAME)



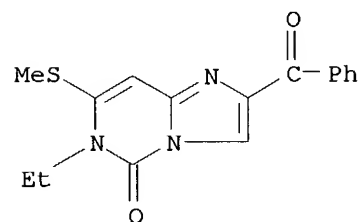
RN 98567-09-0 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-7-methoxy-6-methyl- (9CI)  
 (CA INDEX NAME)



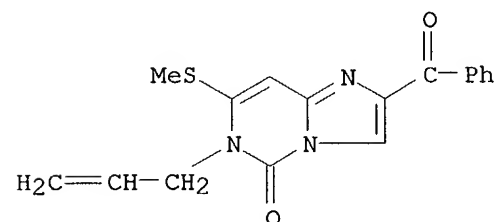
RN 98567-11-4 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-7-methoxy-6-(2-propenyl)-  
 (9CI) (CA INDEX NAME)



RN 98567-16-9 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-6-ethyl-7-(methylthio)- (9CI)  
 (CA INDEX NAME)



RN 98567-17-0 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-7-(methylthio)-6-(2-propenyl)-  
 (9CI) (CA INDEX NAME)



L11 ANSWER 22 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1989:439383 CAPLUS

DN 111:39383

TI 2-Aroylimidazo[1,2-c]pyrimidine derivatives as anxiolytics, their preparation, and formulations containing them

PA Roussel-UCLAF, Fr.

SO Israeli, 25 pp.

CODEN: ISXXAQ

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	IL 73809	A1	19880331	IL 1984-73809	19841212
PRAI	IL 1984-73809		19841212		
OS	MARPAT 111:39383				

AB The title compds. I (R = Ph; R1 = H, C1-5 alkyl, alkoxy, alkylthio; R2R3 = bond, or R1R2 = O and R3 = H, C1-5 alkyl, C2-5 alkenyl; R4 = C1-5 alkoxy, alkylthio; R5 = H, C1-5 alkyl), useful as anxiolytics, were prepd. A mixt. of 2,6-dimethoxy-4-pyrimidinamine and 3-bromo-1-phenyl-1,2-propanedione in Et2O was stirred overnight. The resulting cryst. salt was collected and dissolved in EtOH, and the soln. was refluxed for 2 h to give the corresponding imidazopyrimidinone deriv., which was ethylated to give 2-benzoyl-6-ethyl-7-methoxyimidazo[1,2-c]pyrimidin-5(6H)-one (II). In the Geller and Seifter test for anxiolytic activity, II in vivo exhibited a min. ED of 2 mg/kg p.o. (test species unspecified). Tablets contg. II 20 mg and other ingredients (lactose, starch, talc, and Mg stearate) q.s. to 150 mg were prepd.

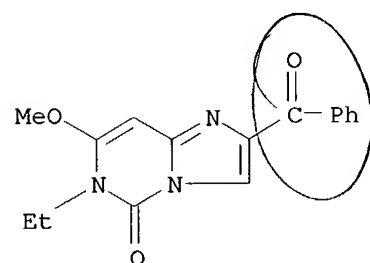
IT 98567-04-5P 98567-05-6P 98567-09-0P

98567-11-4P 98567-16-9P 98567-17-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as anxiolytic)

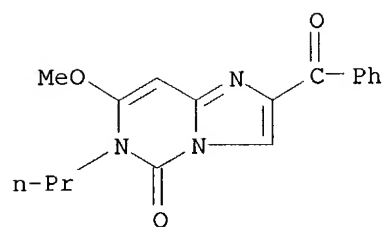
RN 98567-04-5 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-6-ethyl-7-methoxy- (9CI) (CA INDEX NAME)

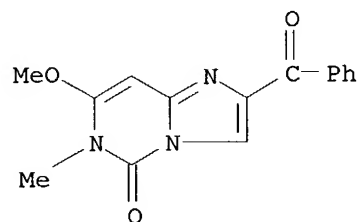


RN 98567-05-6 CAPLUS

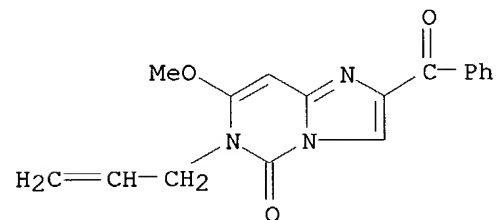
CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-7-methoxy-6-propyl- (9CI)  
(CA INDEX NAME)



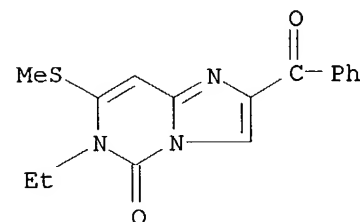
RN 98567-09-0 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-7-methoxy-6-methyl- (9CI)  
 (CA INDEX NAME)



RN 98567-11-4 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-7-methoxy-6-(2-propenyl)-  
 (9CI) (CA INDEX NAME)



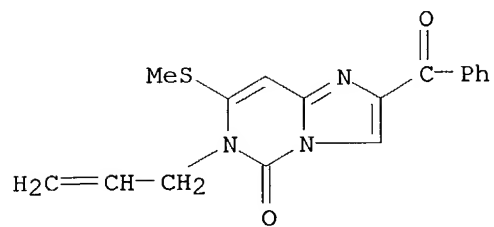
RN 98567-16-9 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-6-ethyl-7-(methylthio)- (9CI)  
 (CA INDEX NAME)



RN 98567-17-0 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-7-(methylthio)-6-(2-propenyl)-

10/634,225

(9CI) (CA INDEX NAME)





L11 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2001:507713 CAPLUS  
 DN 135:92807  
 TI Preparation of imidazopyrimidine nucleoside analogs with anti-HIV activity  
 IN Siddiqui, Arshad; Cimpola, Alex; Preville, Patrice  
 PA Biochem Pharma Inc., Can.  
 SO PCT Int. Appl., 110 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001049700	A1	20010712	WO 2000-CA1587	20001228
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 2002061896 A1 20020523 US 2000-751488 20001228				
US 1999-173871P	P	19991230		

PRAI MARPAT 135:92807

OS  
 AB The present invention accordingly provides imidazopyrimidine nucleosides I wherein X is O, S, CH<sub>2</sub>; Y and Z are independently S, O, CF<sub>2</sub>, C=CH<sub>2</sub>, CHR<sub>6</sub>, R<sub>6</sub> is OH, CN, halo, N<sub>3</sub>, NH<sub>2</sub>, SH, alkoxy, alkenyl, alkynyl, acyl, amine, sulfide, ; Y is CH, Z is CH, Y and Z are linked by double bond; R<sub>1</sub> is H, alkyl, aryl, halogen; R<sub>2</sub> is H, alkyl, acyl, aryl, heterocycle, acyloxycarbonyl, aryloxycarbonyl, halogen; R<sub>3</sub> is H, alkyl, aryl, halogen; R<sub>4</sub> is H, halogen; R<sub>5</sub> is H, silylalkyl, acyl, phosphate; and a method for the treatment or prophylaxis of viral infections in mammals, including humans, comprising the step of administering a therapeutically effective amt. of said compd. of formula I, salts or esters of said compd., pharmaceutical acceptable derivs. or pharmaceutically acceptable salts or esters thereof. Further embodiments include the use of said nucleosides in the prepn. of a medicament for the treatment of viral infections in mammals, a com. packages and pharmaceutical formulations comprising said nucleosides as a therapeutically effective agents against an HIV infection. Thus, 6-[(2S,5R)-5-hydroxymethyl oxolan-2-yl]-2-(4-fluorophenyl)-5,6-dihydro[1,3]-diazolo[1,2-C][1,3]diazin-5-one was prepd. and tested for its antiviral activity (EC<sub>50</sub> = 0.003-60 .mu.M) and cytotoxicity (CC<sub>50</sub> > 100 .mu.M).

IT 188251-80-1P 188251-82-3P 188251-83-4P  
 188251-85-6P 348597-29-5P 348597-30-8P  
 348597-31-9P 348597-32-0P 348597-33-1P  
 348597-34-2P 348597-35-3P 348597-39-7P  
 348597-43-3P 348597-44-4P 348597-45-5P  
 348597-46-6P 348597-50-2P 348597-51-3P  
 348597-52-4P 348597-55-7P 348597-56-8P  
 348597-58-0P 348597-59-1P 348597-60-4P  
 348597-63-7P 348597-64-8P 348597-69-3P  
 348597-70-6P 348597-72-8P 348597-73-9P  
 348597-74-0P 348597-77-3P 348598-39-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

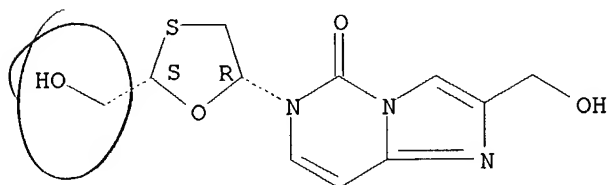
BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazopyrimidine nucleoside analogs with anti-HIV activity)

RN 188251-80-1 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(hydroxymethyl)-6-[(2S,5R)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]- (9CI) (CA INDEX NAME)

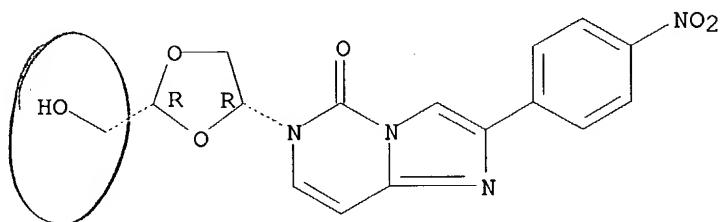
Absolute stereochemistry. Rotation (+).



RN 188251-82-3 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

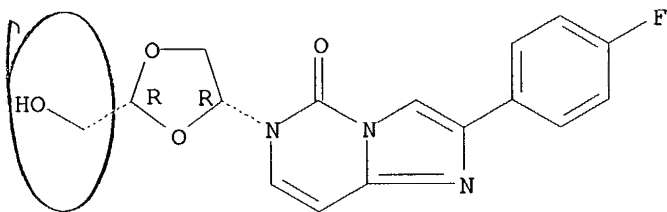
Absolute stereochemistry.



RN 188251-83-4 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-fluorophenyl)-6-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

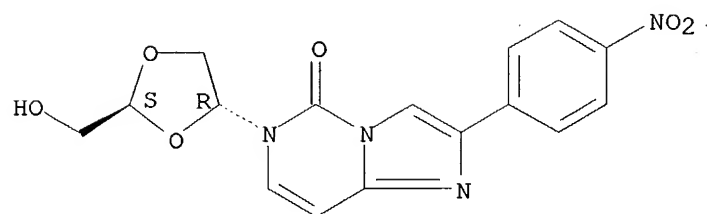
Absolute stereochemistry.



RN 188251-85-6 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2S,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

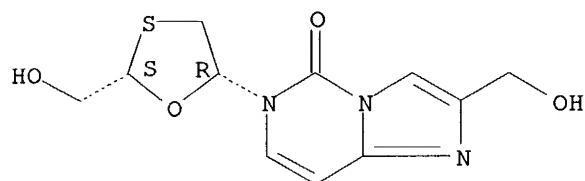
Absolute stereochemistry.



RN 348597-29-5 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(hydroxymethyl)-6-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-, rel- (9CI) (CA INDEX NAME)

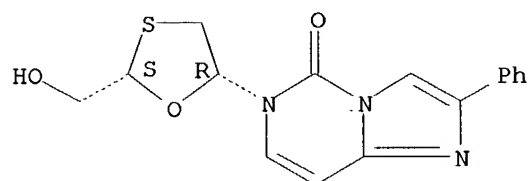
Relative stereochemistry.



RN 348597-30-8 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2-phenyl-, rel- (9CI) (CA INDEX NAME)

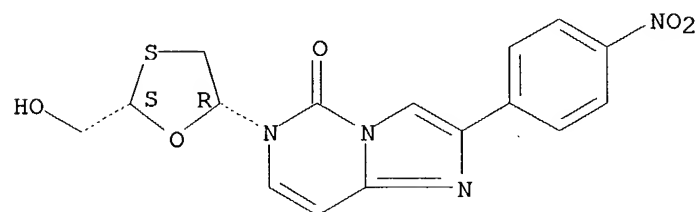
Relative stereochemistry.



RN 348597-31-9 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2-(4-nitrophenyl)-, rel- (9CI) (CA INDEX NAME)

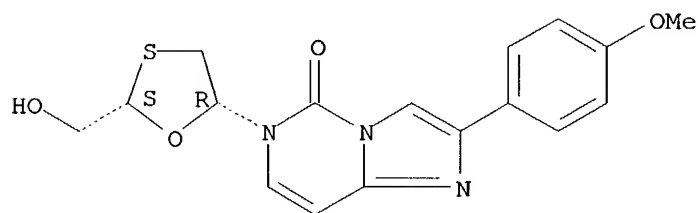
Relative stereochemistry.



RN 348597-32-0 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2-(4-methoxyphenyl)-, rel- (9CI) (CA INDEX NAME)

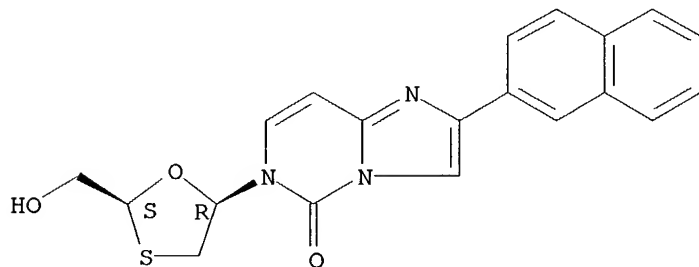
Relative stereochemistry.



RN 348597-33-1 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2-(2-naphthalenyl)-, rel- (9CI) (CA INDEX NAME)

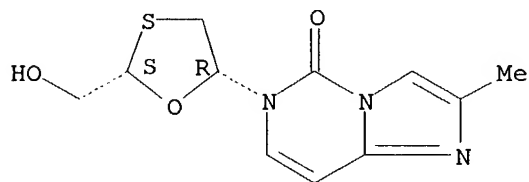
Relative stereochemistry.



RN 348597-34-2 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2-methyl-, rel- (9CI) (CA INDEX NAME)

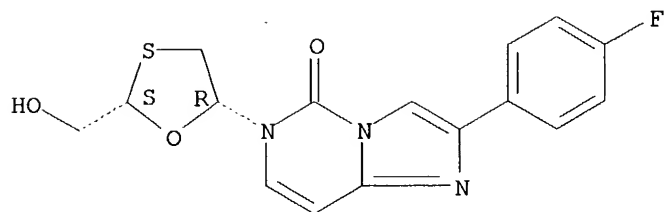
Relative stereochemistry.



RN 348597-35-3 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-fluorophenyl)-6-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-, rel- (9CI) (CA INDEX NAME)

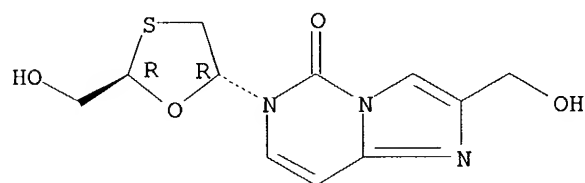
Relative stereochemistry.



RN 348597-39-7 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(hydroxymethyl)-6-[(2R,5R)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-, rel- (9CI) (CA INDEX NAME)

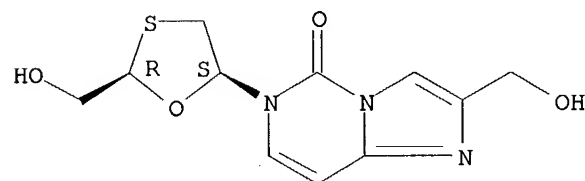
Relative stereochemistry.



RN 348597-43-3 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(hydroxymethyl)-6-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]- (9CI) (CA INDEX NAME)

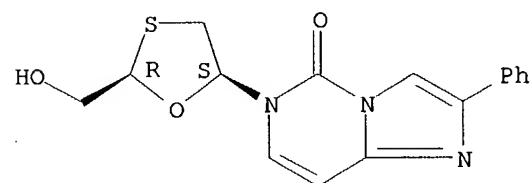
Absolute stereochemistry. Rotation (-).



RN 348597-44-4 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

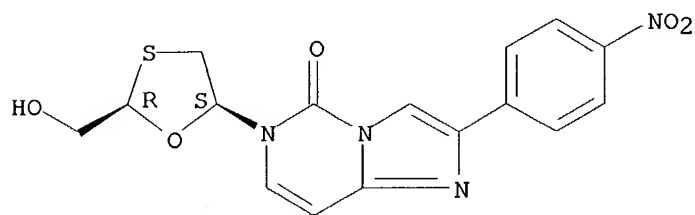


RN 348597-45-5 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2R,5S)-2-(hydroxymethyl)-1,3-

oxathiolan-5-yl]-2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

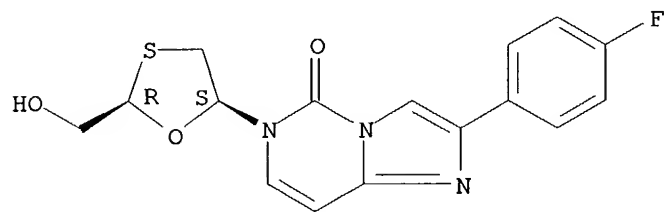
Absolute stereochemistry. Rotation (-).



RN 348597-46-6 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-fluorophenyl)-6-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]- (9CI) (CA INDEX NAME)

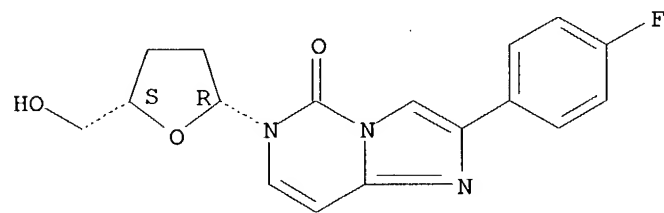
Absolute stereochemistry. Rotation (-).



RN 348597-50-2 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-fluorophenyl)-6-[(2R,5S)-tetrahydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

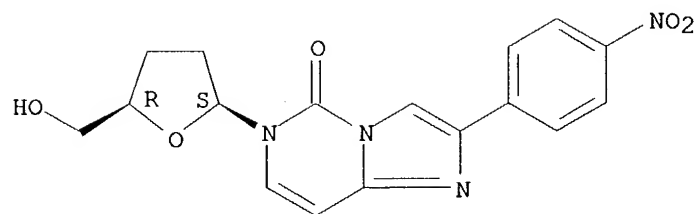
Absolute stereochemistry.



RN 348597-51-3 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-nitrophenyl)-6-[(2S,5R)-tetrahydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

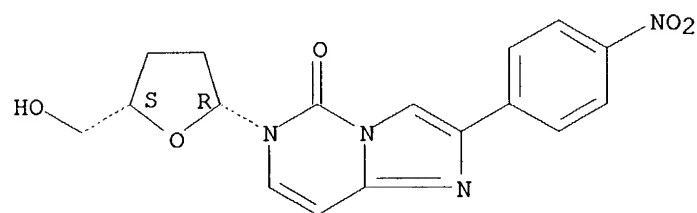
Absolute stereochemistry.



RN 348597-52-4 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-nitrophenyl)-6-[(2R,5S)-tetrahydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

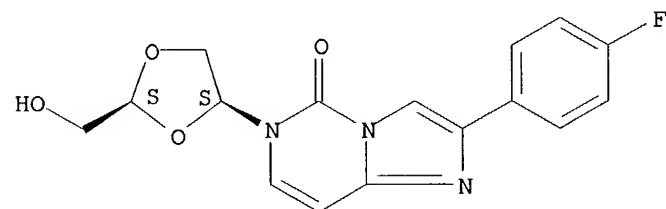
Absolute stereochemistry.



RN 348597-55-7 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-fluorophenyl)-6-[(2S,4S)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

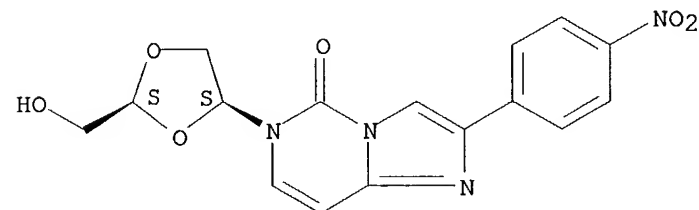
Absolute stereochemistry.



RN 348597-56-8 CAPLUS

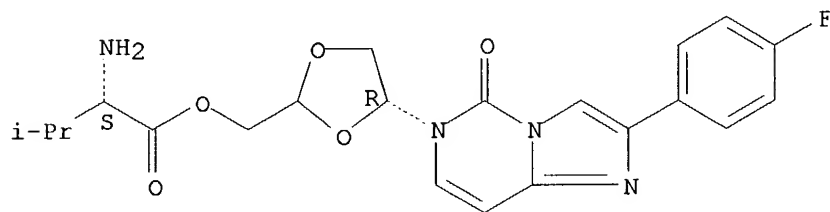
CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2S,4S)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348597-58-0 CAPLUS  
 CN L-Valine, [(4R)-4-[2-(4-fluorophenyl)-5-oxoimidazo[1,2-c]pyrimidin-6(5H)-yl]-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

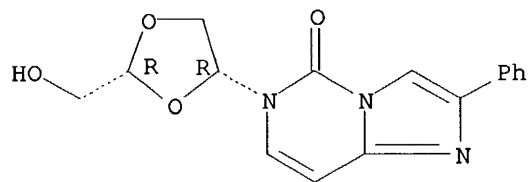
Absolute stereochemistry.



● HCl

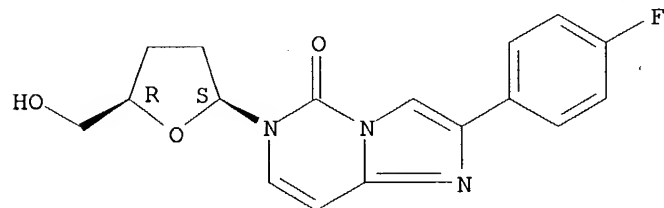
RN 348597-59-1 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348597-60-4 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-fluorophenyl)-6-[(2S,5R)-tetrahydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

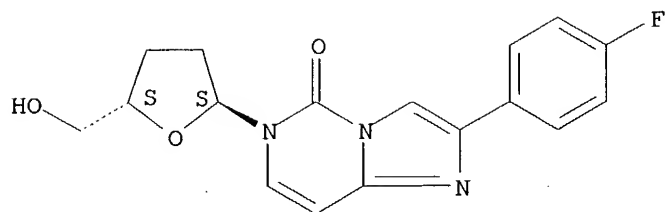
Absolute stereochemistry.



RN 348597-63-7 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-fluorophenyl)-6-[(2S,5S)-tetrahydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

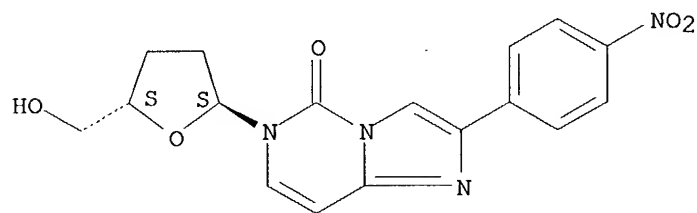




RN 348597-64-8 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-nitrophenyl)-6-[(2S,5S)-tetrahydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

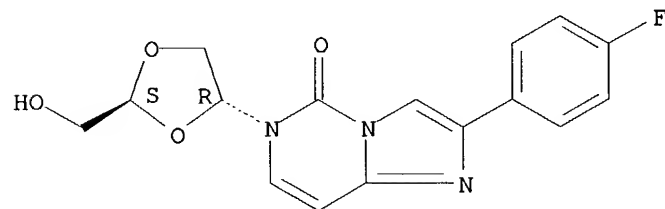
Absolute stereochemistry.



RN 348597-69-3 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-fluorophenyl)-6-[(2S,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

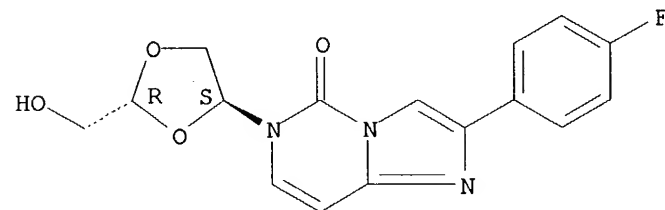
Absolute stereochemistry.



RN 348597-70-6 CAPLUS

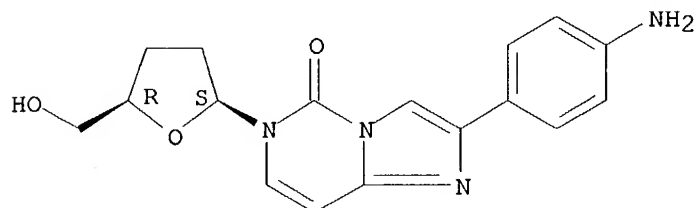
CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-fluorophenyl)-6-[(2R,4S)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348597-72-8 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-aminophenyl)-6-[(2S,5R)-tetrahydro-5-(hydroxymethyl)-2-furanyl]-, monohydrochloride (9CI) (CA INDEX NAME)

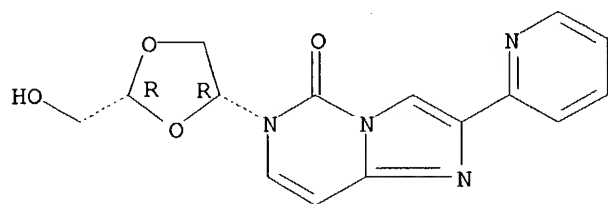
Absolute stereochemistry.



● HCl

RN 348597-73-9 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-2-(2-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

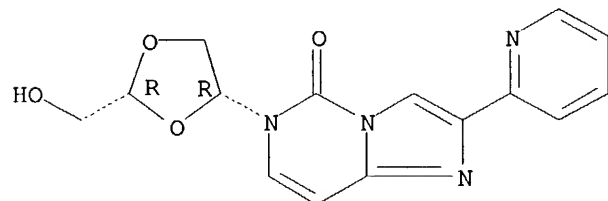
Absolute stereochemistry.



● HCl

RN 348597-74-0 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2R,4R)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



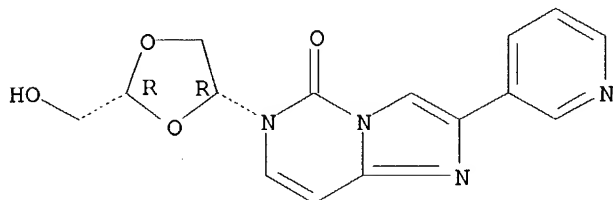
RN 348597-77-3 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2R,4R)-2-(hydroxymethyl)-1,3-

dioxolan-4-yl]-2-(3-pyridinyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

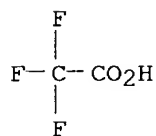
CRN 348597-76-2  
CMF C15 H14 N4 O4

Absolute stereochemistry.



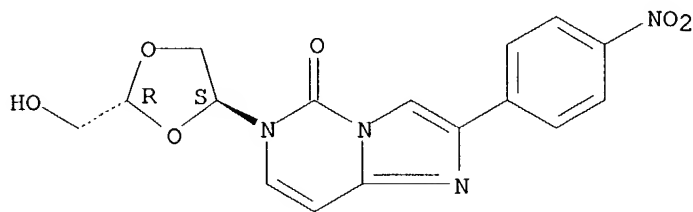
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 348598-39-0 CAPLUS  
CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2R,4S)-2-(hydroxymethyl)-1,3-dioxolan-4-yl]-2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

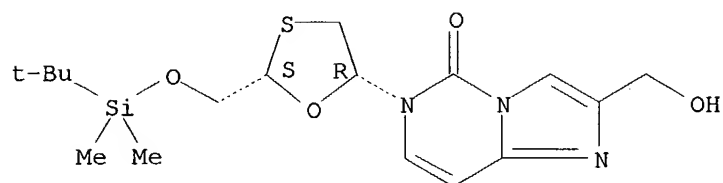
Absolute stereochemistry.



IT 188251-79-8P 188251-87-8P 348597-28-4P  
348597-37-5P 348597-38-6P 348597-40-0P  
348597-41-1P 348597-42-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of imidazopyrimidine nucleoside analogs with anti-HIV activity)  
RN 188251-79-8 CAPLUS  
CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2S,5R)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,3-oxathiolan-5-yl]-2-

(hydroxymethyl)- (9CI) (CA INDEX NAME)

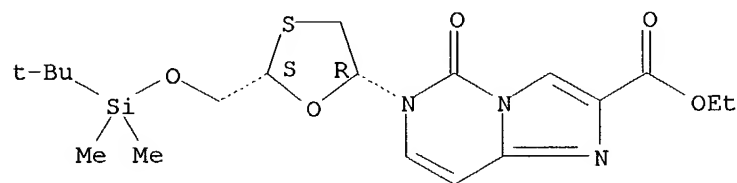
Absolute stereochemistry.



RN 188251-87-8 CAPLUS

CN Imidazo[1,2-c]pyrimidine-2-carboxylic acid, 6-[(2S,5R)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,3-oxathiolan-5-yl]-5,6-dihydro-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)

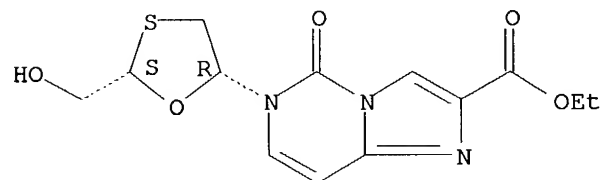
Absolute stereochemistry.



RN 348597-28-4 CAPLUS

CN Imidazo[1,2-c]pyrimidine-2-carboxylic acid, 5,6-dihydro-6-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-5-oxo-, ethyl ester, rel- (9CI) (CA INDEX NAME)

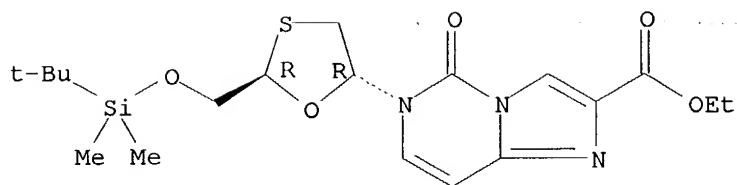
Relative stereochemistry.



RN 348597-37-5 CAPLUS

CN Imidazo[1,2-c]pyrimidine-2-carboxylic acid, 6-[(2R,5R)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,3-oxathiolan-5-yl]-5,6-dihydro-5-oxo-, ethyl ester, rel- (9CI) (CA INDEX NAME)

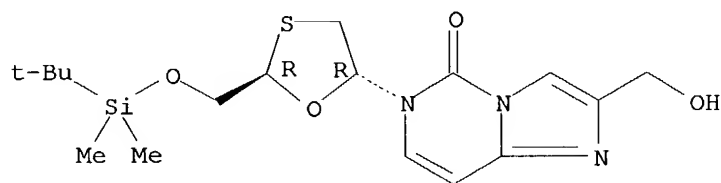
Relative stereochemistry.



RN 348597-38-6 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2R,5R)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,3-oxathiolan-5-yl]-2-(hydroxymethyl)-, rel- (9CI) (CA INDEX NAME)

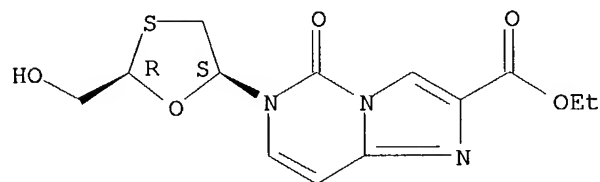
Relative stereochemistry.



RN 348597-40-0 CAPLUS

CN Imidazo[1,2-c]pyrimidine-2-carboxylic acid, 5,6-dihydro-6-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)

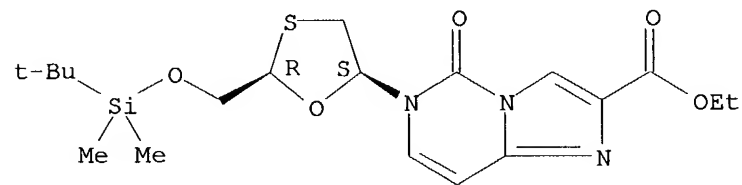
Absolute stereochemistry.



RN 348597-41-1 CAPLUS

CN Imidazo[1,2-c]pyrimidine-2-carboxylic acid, 6-[(2R,5S)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,3-oxathiolan-5-yl]-5,6-dihydro-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

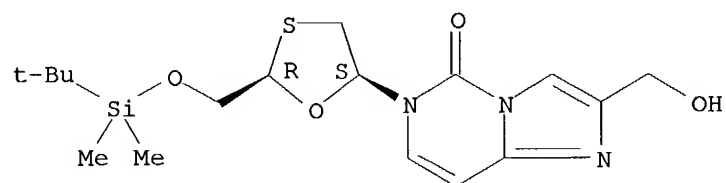


10/634,225

RN 348597-42-2 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[(2R,5S)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,3-oxathiolan-5-yl]-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)

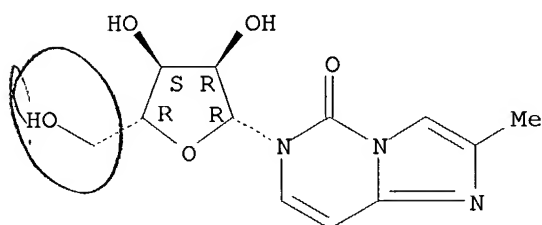
Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

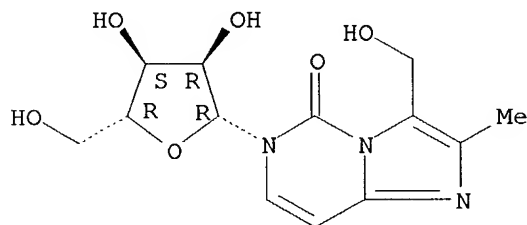
L11 ANSWER 24 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1986:34281 CAPLUS  
 DN 104:34281  
 TI Ring-extended products from the reaction of epoxy carbonyl compounds and nucleic acid bases  
 AU Nair, Vasu; Offerman, Rick J.  
 CS Dep. Chem., Univ. Iowa, Iowa City, IA, 52242, USA  
 SO Journal of Organic Chemistry (1985), 50(26), 5627-31  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DT Journal  
 LA English  
 OS CASREACT 104:34281  
 AB Purine and pyrimidine bases react with epoxy carbonyl compds. in aq. soln. to yield ring-extended adducts. These products include etheno-modified bases as well as adducts in which the modification involves the formation of an addnl. six-membered ring, e.g., reaction of cytidine with glycidaldehyde at pH 10 gave 41% base modified nucleoside I. The latter examples are among the first known cases of this type of modification of pyrimidine bases. Plausible mechanisms for the formation of these adducts are discussed.  
 IT **90754-37-3P 99310-32-4P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 90754-37-3 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-methyl-6-.beta.-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 99310-32-4 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 3-(hydroxymethyl)-2-methyl-6-.beta.-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 25 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1985:542007 CAPLUS  
 DN 103:142007  
 TI Imidazo [1,2-c]pyrimidines and their salts their use as medicaments and compositions containing them  
 IN Tully, Wilfred Roger  
 PA Roussel-UCLAF, Fr.  
 SO Eur. Pat. Appl., 20 pp.  
 CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 147312	A2	19850703	EP 1984-402661	19841219
	EP 147312	A3	19860430		
	EP 147312	B1	19890419		
	R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
	ZA 8409553	A	19860129	ZA 1984-9553	19841207
	US 4643999	A	19870217	US 1984-681948	19841214
	DK 8406054	A	19850623	DK 1984-6054	19841218
	AT 42292	E	19890515	AT 1984-402661	19841219
	AU 8436977	A1	19850704	AU 1984-36977	19841220
	AU 565745	B2	19870924		
	JP 60169479	A2	19850902	JP 1984-267623	19841220
	FI 8405102	A	19850623	FI 1984-5102	19841221
	FI 78099	B	19890228		
	FI 78099	C	19890612		
	GB 2151624	A1	19850724	GB 1984-32343	19841221
	GB 2151624	B2	19871111		
	HU 37431	A2	19851228	HU 1984-4792	19841221
	HU 192653	B	19870629		
	ES 538933	A1	19860316	ES 1984-538933	19841221
	CA 1233175	A1	19880223	CA 1984-470892	19841221
	ES 550003	A1	19861201	ES 1985-550003	19851216
PRAI	GB 1983-34210		19831222		
	EP 1984-402661		19841219		

OS CASREACT 103:142007

AB Title compds. I (R = C6-12 aryl; R1 = H, alkyl, alkoxy, alkylthio, or R1R2 = O, or R2R3 form a bond; R3 = H, alkyl, alkenyl; R4 = alkoxy, alkylthio; R5 = H, alkyl), which were prepd., exhibited anxiolytic activity. A mixt. of 2,6-dimethoxy-4-aminopyrimidine, BzCOCH2Br, Et2O, and THF was heated, the ppt. obtained was dissolved in EtOH, and the soln. was refluxed to give I (R = Ph, R4 = MeO, R1R2 = O, R3 = R5 = H).

IT 98567-04-5P 98567-05-6P 98567-11-4P

98567-16-9P 98567-17-0P

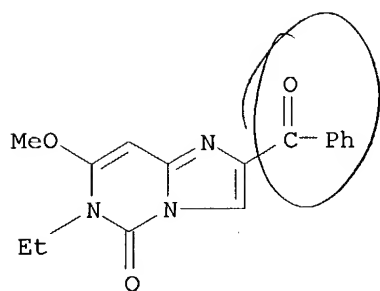
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and anxiolytic activity of)

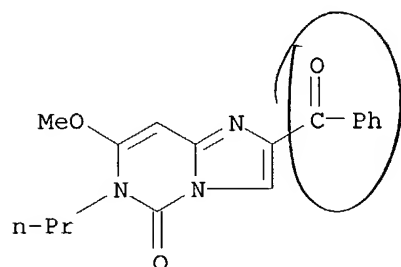
RN 98567-04-5 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-6-ethyl-7-methoxy- (9CI) (CA INDEX NAME)

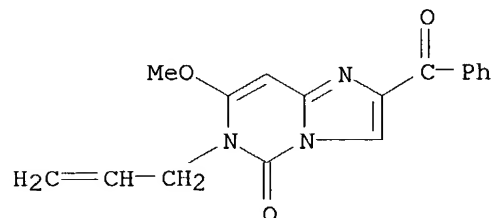




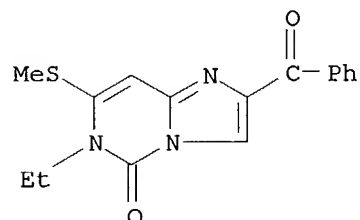
RN 98567-05-6 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-7-methoxy-6-propyl- (9CI)  
(CA INDEX NAME)

RN 98567-11-4 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-7-methoxy-6-(2-propenyl)-  
(9CI) (CA INDEX NAME)

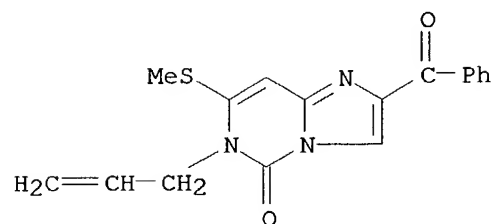
RN 98567-16-9 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-6-ethyl-7-(methylthio)- (9CI)  
(CA INDEX NAME)

RN 98567-17-0 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-7-(methylthio)-6-(2-propenyl)-

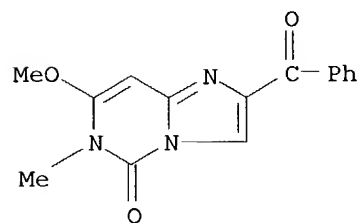
(9CI) (CA INDEX NAME)



IT 98567-09-0P

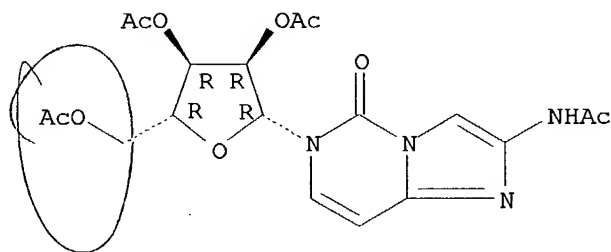
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 98567-09-0 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-benzoyl-7-methoxy-6-methyl- (9CI)  
(CA INDEX NAME)

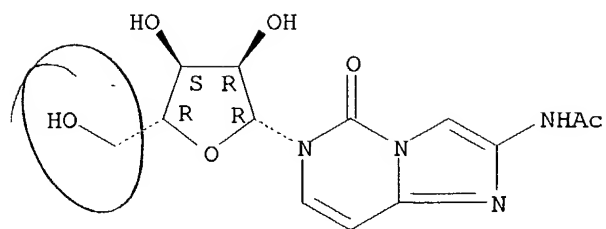
L11 ANSWER 26 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1985:437692 CAPLUS  
 DN 103:37692  
 TI Nucleoside annelating reagents: N-(tert-butoxycarbonyl)-2-bromoacetamide and 2-chloroketene diethyl acetal  
 AU Leonard, Nelson J.; Cruickshank, Kenneth A.  
 CS Sch. Chem. Sci., Univ. Illinois, Urbana, IL, 61801, USA  
 SO Journal of Organic Chemistry (1985), 50(14), 2480-8  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DT Journal  
 LA English  
 OS CASREACT 103:37692  
 AB The title reagents undergo cyclocondensation reaction with tri-O-acetyladenosine to give imidazopyrimidines I (R = 2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl; R1 = NHCO2CMe3, OEt), resp., and with tri-O-acetylcytidine to give imidazopurines II. Some of the products exhibit useful fluorescence properties. Removal of the tert-butoxycarbonyl group with std. conditions gives the corresponding, somewhat unstable amino compds. which can be conveniently characterized as the corresponding N-acetyl derivs. The reagents introducing addnl. substitution on the etheno bridge, with enhanced fluorescence, also suggest the possibility of cross-linking functionalization.  
 IT **96394-46-6P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and ester hydrolysis of)  
 RN 96394-46-6 CAPLUS  
 CN Acetamide, N-[5,6-dihydro-5-oxo-6-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)imidazo[1,2-C]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **61671-71-4P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 61671-71-4 CAPLUS  
 CN Acetamide, N-(5,6-dihydro-5-oxo-6-.beta.-D-ribofuranosylimidazo[1,2-c]pyrimidin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 27 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1985:79272 CAPLUS

DN 102:79272

TI Comparative studies on reactions of adenosine and cytidine with chloroacetaldehyde, .alpha.-bromopropionaldehyde and chloroacetone. Synthesis of 1,N6-ethenoadenosine and 3,N4-ethenocytidine derivatives methylated at the etheno bridge

AU Krzyzosiak, Wlodzimierz J.; Biernat, Jacek; Ciesiolka, Jerzy; Gornicki, Piotr; Wiewiorowski, Maciej

CS Inst. Bioorg. Chem., Pol. Acad. Sci., Poznan, 61704, Pol.

SO Polish Journal of Chemistry (1983), 57(7-8-9), 779-87

CODEN: PJCHDQ; ISSN: 0137-5083

DT Journal

LA English

AB The rates of individual steps of the reactions of adenosine and cytidine with chloroacetaldehyde, .alpha.-bromopropionaldehyde and chloroacetone in water were compared. The nucleosides reacted with both .alpha.-haloaldehydes at comparable rates. The first reaction step, i.e. formation of the cyclic carbinolamine intermediate, was approx. 2 times faster in the .alpha.-bromopropionaldehyde reactions, whereas the second, i.e. dehydration, was 1.5 times more rapid in the case of chloroacetaldehyde. In the chloroacetone reactions the rate-detg. step was the slow initial addn. and no intermediates were obsd. The final products of .alpha.-bromopropionaldehyde and chloroacetone reactions were characterized by mass spectral UV and 1H NMR methods.

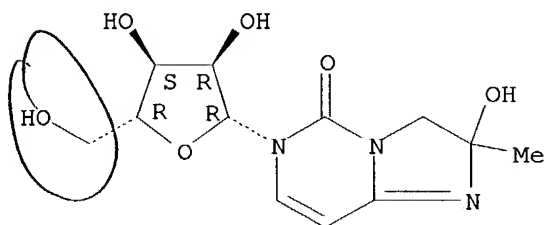
IT 94837-41-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and dehydration of)

RN 94837-41-9 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(3H)-one, 2,6-dihydro-2-hydroxy-2-methyl-6-.beta.-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 90754-37-3P

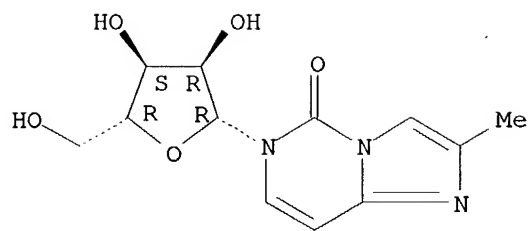
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 90754-37-3 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-methyl-6-.beta.-D-ribofuranosyl- (9CI) (CA INDEX NAME)

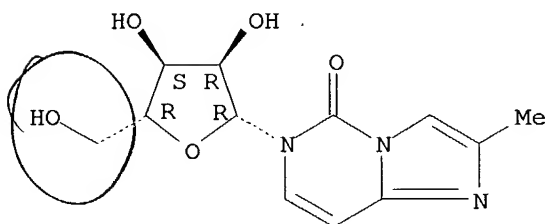
Absolute stereochemistry.

10/634,225

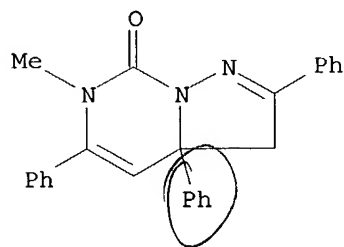


L11 ANSWER 28 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1984:423869 CAPLUS  
 DN 101:23869  
 TI Comparative structural analysis of cytidine, ethenocytidine and their protonated salts. III. Proton, carbon-13 and nitrogen-15 NMR studies at natural isotope abundance  
 AU Kozerski, Lech; Sierzputowska-Gracz, Hanna; Krzyzosiak, Wlodzimierz; Bratek-Wiewiorowska, Maria; Jaskolski, Mariusz; Wiewiorowski, Maciej  
 CS Inst. Bioorg. Chem., Pol. Acad. Sci., Poznan, 61-704, Pol.  
 SO Nucleic Acids Research (1984), 12(4), 2205-23  
 CODEN: NARHAD; ISSN: 0305-1048  
 DT Journal  
 LA English  
 AB The <sup>1</sup>H, <sup>13</sup>C, <sup>15</sup>N NMR spectra of cytidine (I), ethenocytidine and their hydrochlorides were analyzed to compare structural differences obsd. in soln. with those existing in the cryst. state. The effects of etheno-bridging and protonation of the heteroarom. base on the intramol. stereochem., intermol. interactions and electronic structure of the whole mol. are discussed on the basis of the NMR studies in Me<sub>2</sub>SO solns. Particular interest is devoted to the discussion of the conformation of the ribose ring, the presence of the intramol. C-5'-O...H-6-C hydrogen bond, unambiguous assignment of the site of protonation, the mechanism of the 5C-H D exchange in I.HCl, and the intermol. interactions in soln.  
 IT **90754-37-3**  
 RL: PRP (Properties)  
 (NMR of)  
 RN 90754-37-3 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-methyl-6-.beta.-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



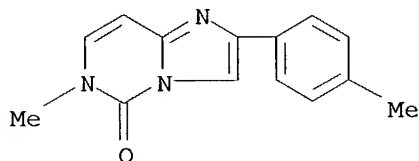
L11 ANSWER 30 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1983:558356 CAPLUS  
 DN 99:158356  
 TI Reactions of heterocyclic cations with N-containing nucleophiles. 13.  
 Study of the reaction of 2,4,6-triarylpyrylium salts with hydrazines,  
 semi- and thiosemicarbazides  
 AU Zvezdina, E. A.; Zhdanova, M. P.; Anisimova, O. S.; Dorofeenko, G. N.  
 CS Rostov. Gos. Univ., Rostov, 344006, USSR  
 SO Khimiya Geterotsiklicheskikh Soedinenii (1983), (5), 695-701  
 CODEN: KGSSAQ; ISSN: 0453-8234  
 DT Journal  
 LA Russian  
 OS CASREACT 99:158356  
 AB Recyclization reactions of perchlorates I (R = H, p-MeO) with R1NHNH2 (R1  
 = H, Me, Ph, Bz) and PhCH:NNH2 in DMF proceed differently than in EtOH.  
 7-Hydroxy- and 7-thio derivs. of 2,3a,5-triphenyl-3H-pyrazolo[1.5-  
 c]pyrimidine were obtained by treatment of triarylpyrylium salts with  
 semi- and thiosemicarbazides. Thus, treatment of I (R = H) with PhCH:NNH2  
 in DMF gave pyridinium perchlorate II; in EtOH diazepine salt III was  
 formed. Treatment of I (R = H) with H2NNHCXNH2 (X = O, S) gave IV.  
 IT **87483-67-8P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 87483-67-8 CAPLUS  
 CN Pyrazolo[1,5-c]pyrimidin-7(3H)-one, 3a,6-dihydro-6-methyl-2,3a,5-triphenyl-  
 (9CI) (CA INDEX NAME)



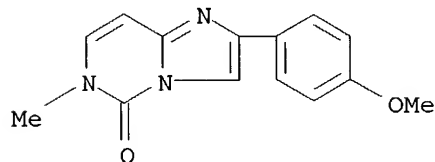


L11 ANSWER 32 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1978:418543 CAPLUS  
 DN 89:18543  
 TI A search for new fluorescent nucleotide derivatives: properties of  
 4'-substituted 2-phenylethenocytosines  
 AU Shibaev, V. N.; Kost, A. A.; Kochetkov, N. K.; Razzhivin, A. P.; Ermolin,  
 S. V.  
 CS N. D. Zelinskii Inst. Org. Chem., Moscow, USSR  
 SO Studia Biophysica (1978), 69(2), 91-102  
 CODEN: STBIBN; ISSN: 0081-6337  
 DT Journal  
 LA English  
 AB UV absorption and fluorescence of substituted 2-(4'-X-phenyl)-6-  
 methylethenocytosines (where X = Me, MeO, Br, and NO<sub>2</sub> for I-IV, resp.)  
 were investigated. The protonated species of the derivs. I-IV contg.  
 substituents with (+M) effect were similar in UV spectra to  
 2-phenyl-6-methylethenocytosine (I) and showed intensive fluorescence.  
 The emission max. shifted to long wavelengths with an increase of the (+M)  
 effect of the substituent. Fluorescence of neutral species of the derivs.  
 I-IV was less intense and emission max. were at shorter wavelengths in  
 comparison with the protonated forms. The methoxy deriv. II seems to be  
 the most promising as a fluorescent nucleic acid base analog in this  
 series. For the nitro deriv. IV fluorescence of protonated species was  
 not detected, whereas the neutral species showed weak long-wave length  
 fluorescence in nonpolar solvents.  
 IT 63803-95-2 63803-96-3 63803-97-4  
 63803-98-5  
 RL: PRP (Properties)  
 (fluorescence and UV spectra of)  
 RN 63803-95-2 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-methyl-2-(4-methylphenyl)- (9CI) (CA  
 INDEX NAME)

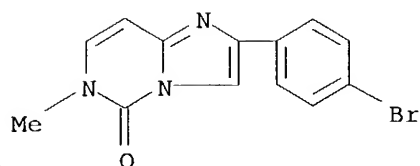
*Same  
as  
#31.*



RN 63803-96-3 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-methoxyphenyl)-6-methyl- (9CI)  
 (CA INDEX NAME)

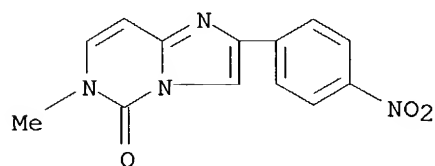


RN 63803-97-4 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-bromophenyl)-6-methyl- (9CI) (CA  
 INDEX NAME)



RN 63803-98-5 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-methyl-2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



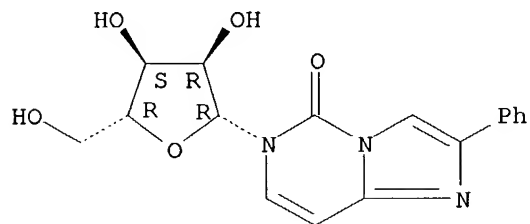
IT **67147-87-9**

RL: PRP (Properties)  
(fluorescence of)

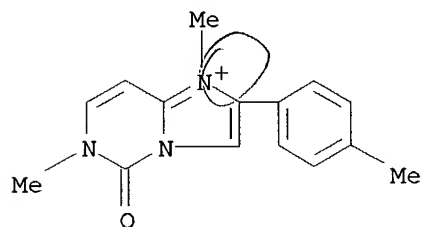
RN 67147-87-9 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-phenyl-6-.beta.-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

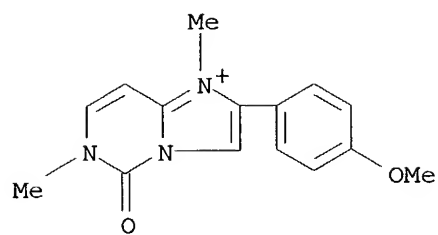


L11 ANSWER 33 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1978:116977 CAPLUS  
 DN 88:116977  
 TI Ethylene derivatives of cytosine as fluorescent probes in the study of  
 D-glyceraldehyde-3-phosphate dehydrogenase  
 AU Ermolin, S. V.; Kost, A. A.; Ivanov, M. V.; Nagradova, N. K.  
 CS Mosk. Gos. Univ., Moscow, USSR  
 SO Doklady Akademii Nauk SSSR (1978), 238(1), 245-8 [Biochem.]  
 CODEN: DANKAS; ISSN: 0002-3264  
 DT Journal  
 LA Russian  
 AB 2-Phenylethenocytosine derivs. substituted in the p-position of the  
 benzene ring were methiodated to give the corresponding N1-methylated  
 derivs. The binding of these compds. to yeast glyceraldehyde phosphate  
 dehydrogenase (I) was studied. Binding of ethenocytosine derivs. to I  
 resulted in quenching of their fluorescence, probably due to  
 neutralization of the pos. charge on N1 by interaction with neg. charged  
 groups in I. Scatchard plots indicated that there are 2 mols.  
 ethenocytosine deriv. bound/mol. I and the dissocn. const. was 1.4 .times.  
 10<sup>-4</sup> M. Since these mols. are hydrophobic in character, a relatively  
 nonpolar region of I may be required for binding in addn. to neg. charged  
 groups. The binding sites for ethenocytosine derivs. do not overlap with  
 those for coenzyme or 1-anilino-8-naphthylsulfonate and  
 N1-methylethenocytosine compds. are thus useful probes for investigating  
 the conformational differences between sep. regions on the I mol.  
 IT **65886-94-4 65886-95-5**  
 RL: BIOL (Biological study)  
 (as glyceraldehyde phosphate dehydrogenase conformational probe)  
 RN 65886-94-4 CAPLUS  
 CN Imidazo[1,2-c]pyrimidinium, 5,6-dihydro-1,6-dimethyl-2-(4-methylphenyl)-5-  
 oxo-, iodide (9CI) (CA INDEX NAME)

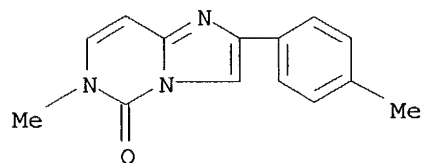


● I<sup>-</sup>

RN 65886-95-5 CAPLUS  
 CN Imidazo[1,2-c]pyrimidinium, 5,6-dihydro-2-(4-methoxyphenyl)-1,6-dimethyl-5-  
 oxo-, iodide (9CI) (CA INDEX NAME)

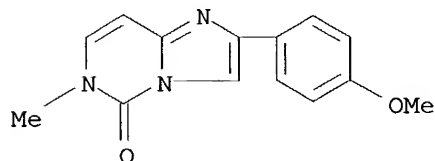


L11 ANSWER 34 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1977:502261 CAPLUS  
 DN 87:102261  
 TI Fluorescent derivatives based on cytosine. Effect of substituents on optical properties of 2-phenylethenocytosines  
 AU Kochetkov, N. K.; Shibaev, V. N.; Kost, A. A.; Razzhivin, A. P.; Ermolin, S. V.  
 CS Inst. Org. Khim. im. Zelinskogo, Moscow, USSR  
 SO Doklady Akademii Nauk SSSR (1977), 234(1), 227-30 [Biochem.]  
 CODEN: DANKAS; ISSN: 0002-3264  
 DT Journal  
 LA Russian  
 AB The title compds. I (R = Me, OMe, Br, NO<sub>2</sub>), prepd. in 23-52% yields by cyclocondensation of p-RC<sub>6</sub>H<sub>4</sub>COCH<sub>2</sub>Br with 1-methylcytosine, showed little variation in their UV absorption detd. in 0.1NHCl, 0.1NKO<sub>2</sub>H, and in CHCl<sub>3</sub>.  
 IT **63803-95-2P 63803-96-3P 63803-97-4P**  
**63803-98-5P**  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and fluorescence spectrum of)  
 RN 63803-95-2 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-methyl-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

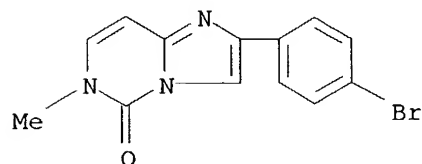


*Same as  
#31*

RN 63803-96-3 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-methoxyphenyl)-6-methyl- (9CI)  
 (CA INDEX NAME)



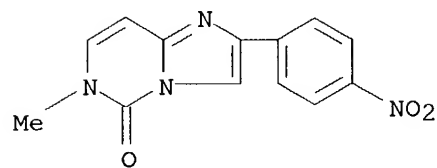
RN 63803-97-4 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-(4-bromophenyl)-6-methyl- (9CI) (CA INDEX NAME)



10/634,225

RN 63803-98-5 CAPLUS

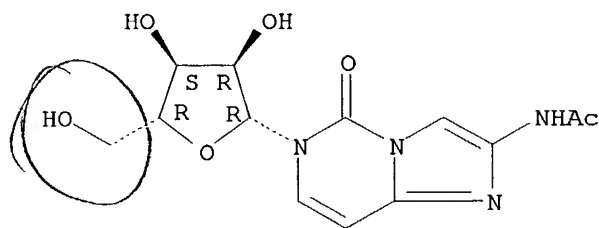
CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-methyl-2-(4-nitrophenyl)- (9CI) (CA  
INDEX NAME)



L11 ANSWER 35 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1977:106943 CAPLUS  
 DN 86:106943  
 TI Species responsible for the fluorescence of 3,N4-ethenocytidine  
 AU Barrio, Jorge R.; Sattsangi, Prem D.; Gruber, Bruce A.; Dammann, Laurence G.; Leonard, Nelson J.  
 CS Sch. Chem. Sci., Univ. Illinois, Urbana, IL, USA  
 SO Journal of the American Chemical Society (1976), 98(23), 7408-13  
 CODEN: JACSAT; ISSN: 0002-7863  
 DT Journal  
 LA English  
 AB The fluorescence properties of 3,N4-ethenocytidine ( $\epsilon$ -cytidine) (I), substituted derivs., and closely related 2-ring heterocycles were examd. The chloroacetaldehyde-modified cytidine is fluorescent only in its protonated form. The fluorescence emission max. is 340 nm and the  $pK_a^*$  is 4.0, very close to the value for the ground state. N-1-alkylation of I at the same position as protonation makes reversion to the nonfluorescent type of structure impossible, on changing the pH, and accordingly the fluorescence emission characteristics are preserved over a wide range of pH. The presence of the n.fwdarw. $\pi$ \* transition of the carbonyl group in I is responsible for the lack of fluorescence in neutral soln. Even I.HCl has a low fluorescence quantum yield ( $\Phi_f$  < 0.01) and a short fluorescence lifetime ( $\tau$  = 30 psec). Ring substitution produces a red shift of the  $\pi$   $\rightarrow$   $\pi^*$  transition, due to inductive or mesomeric effects and a clear improvement in the fluorescence emission characteristics; e.g., 2-acetylamino-5,6-dihydro-5-oxo-6- $\beta$ -D-ribofuranosylimidazo[1,2-c]pyrimidine, in its protonated form, shows  $\Phi_f$  = 0.85 and  $\tau$  = 4 ns. Imidazo[1,2-a]pyridine, a close model for I lacking the carbonyl group and accordingly the n.fwdarw. $\pi$ \* transition, has a high fluorescence quantum yield and long lifetime in either neutral soln. or org. solvents. Both N-1-protonated and -alkylated imidazo[1,2-a]pyridines have emission maxima similar to those obsd. for I.HCl but higher quantum yields.

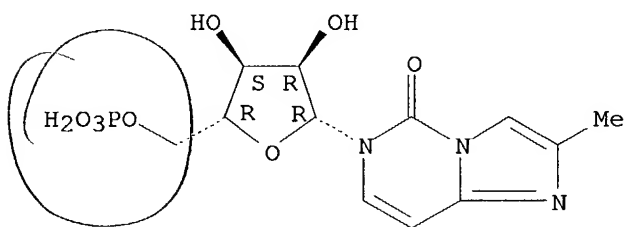
IT **61671-71-4P**  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and fluorescence spectra of)  
 RN 61671-71-4 CAPLUS  
 CN Acetamide, N-(5,6-dihydro-5-oxo-6- $\beta$ -D-ribofuranosylimidazo[1,2-c]pyrimidin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



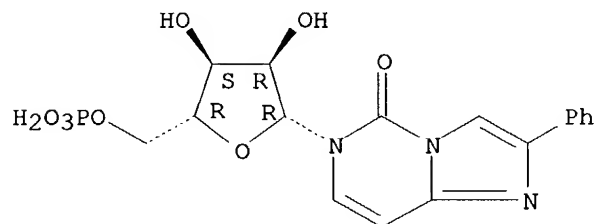
L11 ANSWER 36 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1976:446992 CAPLUS  
 DN 85:46992  
 TI New fluorescent cytidine 5'-phosphate derivatives  
 AU Kochetkov, N. K.; Shibaev, V. N.; Kost, A. A.; Razzhivin, A. P.; Borisov, A. Yu.  
 CS N. D. Zelinskii Inst. Org. Chem., Moscow, USSR  
 SO Nucleic Acids Research (1976), 3(5), 1341-9  
 CODEN: NARHAD; ISSN: 0305-1048  
 DT Journal  
 LA English  
 AB Interaction of cytidine 5'-phosphate with chloroacetone or p-tosyloxyacetone leads to 2-methyl-5,6-dihydro-5-oxo-6-(5-O-phospho-.beta.-D-ribofuranosyl)-2-imidazo[1,2-c]pyrimidine (I, R = Me) whereas analogous reaction with phenacyl bromide gave I (R = Ph). I showed significant uv absorption at long wavelength where common nucleotides and proteins exhibited no absorption. I are highly fluorescent when heterocyclic ring is protonated.  
 IT **59968-40-0P 60003-82-9P**  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
 RN 59968-40-0 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-methyl-6-(5-O-phosphono-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



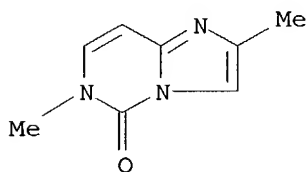
RN 60003-82-9 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-phenyl-6-(5-O-phosphono-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



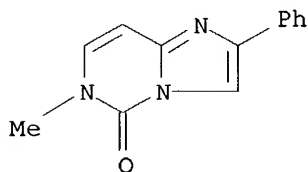


L11 ANSWER 37 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1976:105526 CAPLUS  
 DN 84:105526  
 TI Preparation of substituted imidazo[1,2-c]pyrimidines from  
 N'-methylcytosine  
 AU Kochetkova, N. K.; Kost, A. N.; Shibaev, V. N.; Sagitullin, R. S.; Kost,  
 A. A.; Zav'yalov, Yu. V.  
 CS Inst. Org. Khim. im. Zelinskogo, Moscow, USSR  
 SO Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1975), (12), 2766-70  
 CODEN: IASKA6; ISSN: 0002-3353  
 DT Journal  
 LA Russian  
 OS CASREACT 84:105526  
 AB Imidazopyrimidines (I, R = Me, Ph, R1 = H; R = H, R1 = Me, H) were  
 obtained in 23-31% yields by cyclocondensation of 1-methylcytosine with  
 RCOCHR1Br by boiling 12 hr in dry MeOH.  
 IT **57491-47-1P 57491-49-3P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 57491-47-1 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2,6-dimethyl- (9CI) (CA INDEX NAME)

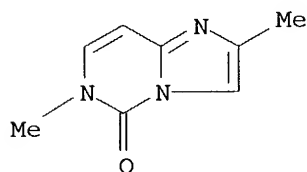


*Same  
as #31*

RN 57491-49-3 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-methyl-2-phenyl- (9CI) (CA INDEX NAME)

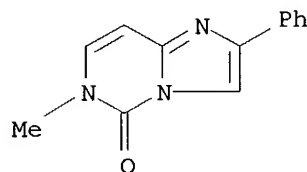


L11 ANSWER 38 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1976:3973 CAPLUS  
 DN 84:3973  
 TI Fluorescent properties of some cytosine derivatives modified with  
 .alpha.-halocarbonyl compounds  
 AU Razhivin, A. P.; Kost, A. A.; Shibaev, V. N.  
 CS Lab. Bio-Org. Chem., M. V. Lomonosov Moscow State Univ., Moscow, USSR  
 SO Studia Biophysica (1975), 51(1), 29-34  
 CODEN: STBIBN; ISSN: 0081-6337  
 DT Journal  
 LA English  
 AB The uv and fluorescence spectra of I (R, R1 = H, H; Me, H; H, Me; Ph, H)  
 were reported. The fluorescence quantum yields increased as the pH of the  
 medium decreased. The abs. quantum yield for I (R = Ph, R1 = H) in acidic  
 media was 0.60. I were prepd. by reaction of N1-methylcytosine with  
 .alpha.-halocarbonyl compds.  
 IT **57491-47-1 57491-49-3**  
 RL: PRP (Properties)  
 (fluorescence and uv spectra of)  
 RN 57491-47-1 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2,6-dimethyl- (9CI) (CA INDEX NAME)



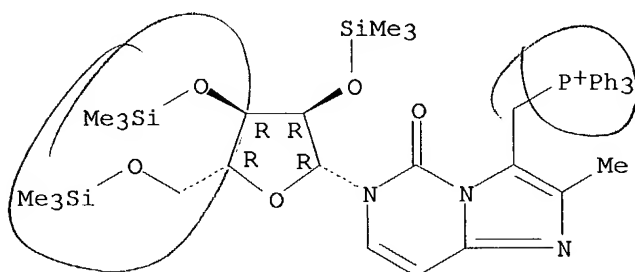
*Same as #31*

RN 57491-49-3 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-methyl-2-phenyl- (9CI) (CA INDEX NAME)



L11 ANSWER 39 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1975:443668 CAPLUS  
 DN 83:43668  
 TI Reactions with organophosphorus compounds. XXXVI. Structural transformations on nucleotides, nucleosides, and nucleoside bases using .beta.-acylvinylphosphonium salts  
 AU Ivancsics, Christine; Zbiral, Erich  
 CS Org.-Chem. Inst., Univ. Wien, Vienna, Austria  
 SO Monatshefte fuer Chemie (1975), 106(2), 417-28  
 CODEN: MOCMB7; ISSN: 0026-9247  
 DT Journal  
 LA Russian  
 AB Treatment of CMP with AcCH:CHP+Ph<sub>3</sub> Br<sup>-</sup> (I) gave the etheno deriv. [II, R<sub>1</sub> = R<sub>3</sub> = H, R<sub>2</sub> = P(O)(ONa)<sub>2</sub>, R<sub>4</sub> = P+Ph<sub>3</sub> Br<sup>-</sup>] whereas guanine gave III (R = P+Ph<sub>3</sub>Br<sup>-</sup>) (IV) and V. Degrn. of IV with di-Et malonate anion in Me<sub>2</sub>SO at 90.degree. for 48 hr gave 44.8% III [R = CH(CO<sub>2</sub>Et)<sub>2</sub>]. Wittig reaction of II (R<sub>1</sub> = R<sub>2</sub> = SiMe<sub>3</sub>, R<sub>3</sub> = H, R<sub>4</sub> = P+Ph<sub>3</sub>Br<sup>-</sup>) with PhCHO gave II (R<sub>1</sub> = R<sub>2</sub> = SiMe<sub>3</sub>, R<sub>3</sub>R<sub>4</sub> = CHPh).  
 IT **55857-97-1P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and Wittig reaction of)  
 RN 55857-97-1 CAPLUS  
 CN Phosphonium, [[5,6-dihydro-2-methyl-5-oxo-6-[2,3,5-tris-O-(trimethylsilyl)-.beta.-D-ribofuranosyl]imidazo[1,2-c]pyrimidin-3-yl]methyl]triphenyl-, bromide (9CI) (CA INDEX NAME)

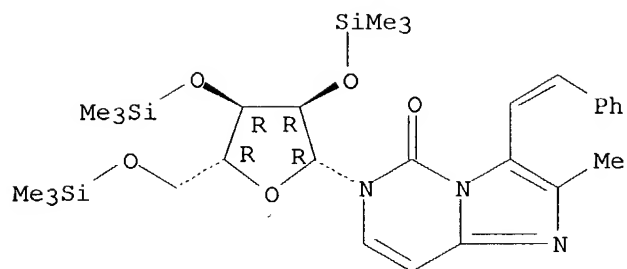
Absolute stereochemistry.



● Br<sup>-</sup>

IT **55857-96-0P 55857-98-2P 55917-73-2P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 55857-96-0 CAPLUS  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 2-methyl-3-(2-phenylethenyl)-6-[2,3,5-tris-O-(trimethylsilyl)-.beta.-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

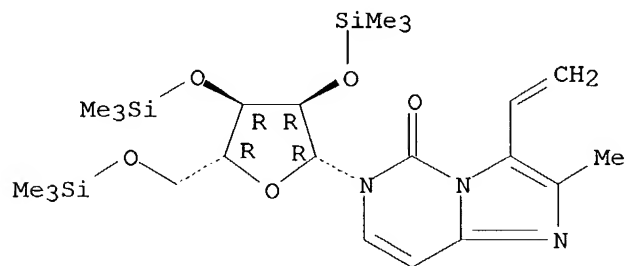
Absolute stereochemistry.  
 Double bond geometry unknown.



RN 55857-98-2 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 3-ethenyl-2-methyl-6-[2,3,5-tris-O-(trimethylsilyl)-.beta.-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

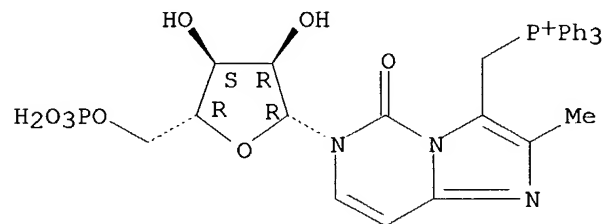
Absolute stereochemistry.



RN 55917-73-2 CAPLUS

CN Phosphonium, [[5,6-dihydro-2-methyl-5-oxo-6-(5-O-phosphono-.beta.-D-ribofuranosyl)imidazo[1,2-c]pyrimidin-3-yl)methyl]triphenyl-, bromide, disodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Br<sup>-</sup>

● 2 Na

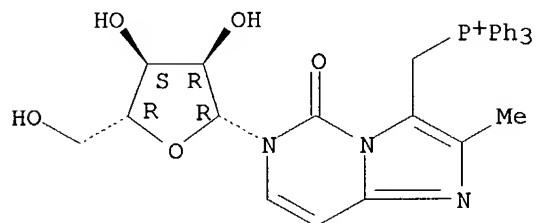
IT 35536-42-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with bis(trimethylsilyl)acetamide)

RN 35536-42-6 CAPLUS

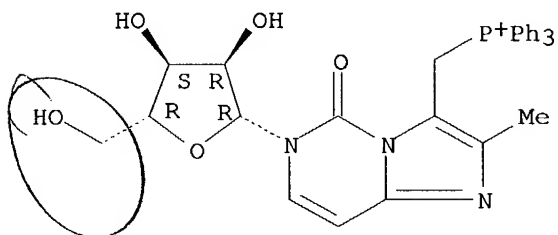
CN Phosphonium, [(5,6-dihydro-2-methyl-5-oxo-6-.beta.-D-ribofuranosylimidazo[1,2-c]pyrimidin-3-yl)methyl]triphenyl-, bromide (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 40 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1973:160044 CAPLUS  
 DN 78:160044  
 TI Reactions with phosphororganic compounds. XXXIII. Structural transformations on nucleosides and nucleoside bases by (.beta.-acylvinyl)triphenylphosphonium salts  
 AU Hugl, Erika; Schulz, Gerhard; Zbiral, Erich  
 CS Org.-Chem. Inst., Univ. Wien, Vienna, Austria  
 SO Justus Liebigs Annalen der Chemie (1973), (2), 278-89  
 CODEN: JLACBF; ISSN: 0075-4617  
 DT Journal  
 LA German  
 AB The structures of the condensed heterocyclic systems I [R = Me (II) or H (III)], IV [R = H, R1 = Me (V) or Ph], and VI formed by reaction of the corresponding nucleosides or nucleoside bases with Ph<sub>3</sub>P+CH:CHCOMe Br- (VII) were elucidated, II by the nuclear Overhauser effect and III and V by Hofmann-like degradn. The reactive intermediate formed from III led to the formation of the ester VIII (R = H) by addn. of the malonate carbanion. Similarly, the derivs. IX [R2 = CN, CH(COMe)CO<sub>2</sub>Et, or CH(CO<sub>2</sub>Et)<sub>2</sub>] were formed from IV. Wittig reaction of II with BzH gave the cis and trans isomers of X (R = Me). Similarly, the compd. XI obtained from 2-aminopyridine with VII reacted with BzH to give the styryl deriv. XII.  
 IT **35536-42-6P 35536-44-8P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 35536-42-6 CAPLUS  
 CN Phosphonium, [(5,6-dihydro-2-methyl-5-oxo-6-.beta.-D-ribofuranosylimidazo[1,2-c]pyrimidin-3-yl)methyl]triphenyl-, bromide (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.

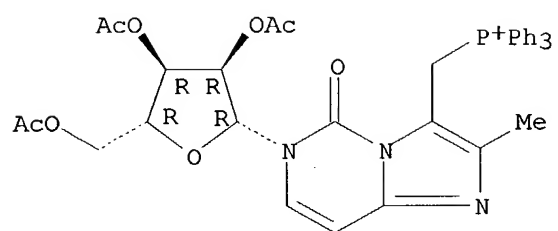


● Br<sup>-</sup>

RN 35536-44-8 CAPLUS  
 CN Phosphonium, [[5,6-dihydro-2-methyl-5-oxo-6-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)imidazo[1,2-c]pyrimidin-3-yl)methyl]triphenyl-, bromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/634,225



L11 ANSWER 41 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1972:488530 CAPLUS  
 DN 77:88530  
 TI Protozoacidal 2-alkylpyrazolo[1,5-c]pyrimidines  
 IN Kranz, Eckart; Bock, Marianne  
 PA Farbenfabriken Bayer A.-G.  
 SO Ger. Offen., 21 pp.  
 CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2058501	A	19720531	DE 1970-2058501	19701127
	NL 7116162	A	19720530	NL 1971-16162	19711124
	US 3810894	A	19740514	US 1971-201987	19711124
	AT 310736	B	19731010	AT 1971-10166	19711125
	BE 775893	A1	19720526	BE 1971-110966	19711126
	FR 2115446	A5	19720707	FR 1971-42515	19711126
	FR 2115446	B1	19750801		
	DD 95235	C	19730122	DD 1971-159193	19711126
	GB 1333311	A	19731010	GB 1971-55026	19711126
	CH 560701	A	19750415	CH 1971-17263	19711126
	CA 988085	A1	19760427	CA 1971-128663	19711126
	HU 163827	P	19731128	HU 1971-BA2671	19711127
PRAI	DE 1970-2058501		19701127		

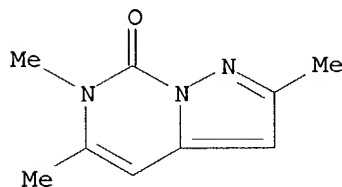
AB Twenty-one title compds. (I, R = Me, Ph, iso-Pr, R1 = H, Me, X = O, S, NH; II, R = Me, Ph, Et, Pr, iso-Pr, R1 = Me, Et, R2 = NH2, NHMe, SMe; III, R = Me, Ph, n = 1, 2; IV, and V), protozoacides and intermediates for the synthesis of plant-protecting agents, were prepd. by reaction of .beta.-triketones with hydrazine derivs. Thus, H2NCONHNH2.HCl was heated 6 hr with (MeCOCH2)2CO and aq. Na2CO3 at 100.degree. to give 60.2% II (R = R1 = Me, R2 = NH2).

IT **35834-00-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 35834-00-5 CAPLUS

CN Pyrazolo[1,5-c]pyrimidin-7(6H)-one, 2,5,6-trimethyl- (9CI) (CA INDEX NAME)





L11 ANSWER 42 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1972:488523 CAPLUS  
 DN 77:88523  
 TI Protozoacidal 2-alkylpyrazolo[1,5-c]pyrimidines  
 IN Kranz, Eckart; Bock, Marianne  
 PA Farbenfabriken Bayer A.-G.  
 SO Ger. Offen., 18 pp.  
 CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2058500	A	19720531	DE 1970-2058500	19701127
	NL 7116161	A	19720530	NL 1971-16161	19711124
	AT 310737	B	19731010	AT 1971-10167	19711125
	DD 95009	C	19730112	DD 1971-159188	19711126
	HU 163826	P	19731128	HU 1971-BA2670	19711127
PRAI	DE 1970-2058500		19701127		

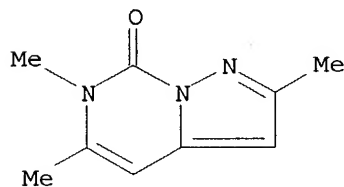
AB Eighteen title compds. (I, R = Me, iso-Pr, Ph, R1 = H, Me, X = O, S, NH; II, R = Me, Ph, Et, Pr, iso-Pr, R1 = Me, Et, R2 = NH2, NHMe, SMe; III, n = 1, 2), and 2,5-dimethylpyrazolo [1,5 :3,4] pyrimido [1,2-.alpha.] benzimidazole,, protozoacides, were prepd. by reaction of 3,6-disubstituted 4-hydroxy-2-pyrones with hydrazines. Thus, H2NCSNHNH2 was heated 8 hr with dehydracetic acid in concd. HCl at 110.degree. to give 33.6% I (R = Me, R1 = H, X = S).

IT **35834-00-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 35834-00-5 CAPLUS

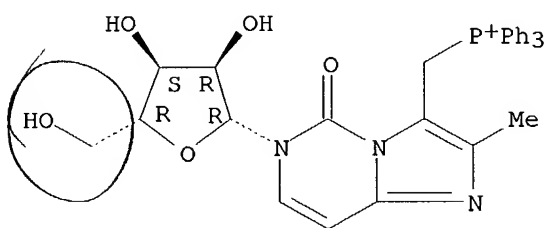
CN Pyrazolo[1,5-c]pyrimidin-7(6H)-one, 2,5,6-trimethyl- (9CI) (CA INDEX NAME)



*Same as #41*

L11 ANSWER 43 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1972:113172 CAPLUS  
 DN 76:113172  
 TI Reactions with organophosphorus compounds. XXXI. Reaction of adenine, cytosine, and guanine derivatives with B-acylvinylphosphonium salts. Potentially useful principle for modification of nucleic acids  
 AU Zbiral, E.; Hugl, Erika  
 CS Org.-Chem. Inst., Univ. Wien, Vienna, Austria  
 SO Tetrahedron Letters (1972), (5), 439-44  
 CODEN: TELEAY; ISSN: 0040-4039  
 DT Journal  
 LA German  
 AB Cytidine condensed with Ph<sub>3</sub>PCH:CHAc to give the imidazopyrimidine I (R = Ph<sub>3</sub>PCH<sub>2</sub>, R<sub>1</sub> = Me, or vice versa), which gave I (R = R<sub>1</sub> = Me) and Ph<sub>3</sub>PO on treatment with alkali. Cytidine, adenine, adenosine, and guanosine also underwent the reaction. Yields were 57-70%. The imidazopyrimidine II (R = Ph<sub>3</sub>PCH<sub>2</sub>) or its isomer, prepd. similarly, underwent Wittig reaction to give II (R = PhCH:CH) or its isomer.  
 IT 35536-42-6P 35536-43-7P 35536-44-8P 35536-45-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
 RN 35536-42-6 CAPLUS  
 CN Phosphonium, [(5,6-dihydro-2-methyl-5-oxo-6-.beta.-D-ribofuranosylimidazo[1,2-c]pyrimidin-3-yl)methyl]triphenyl-, bromide (9CI) (CA INDEX NAME)

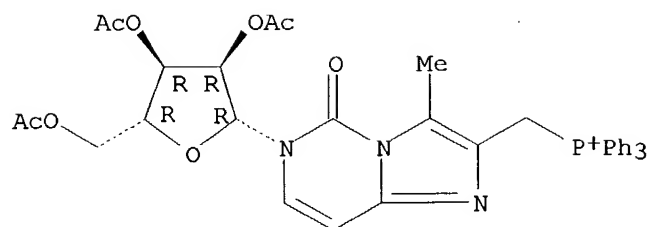
Absolute stereochemistry.



● Br<sup>-</sup>

RN 35536-43-7 CAPLUS  
 CN Phosphonium, [[5,6-dihydro-3-methyl-5-oxo-6-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)imidazo[1,2-c]pyrimidin-2-yl)methyl]triphenyl-, bromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

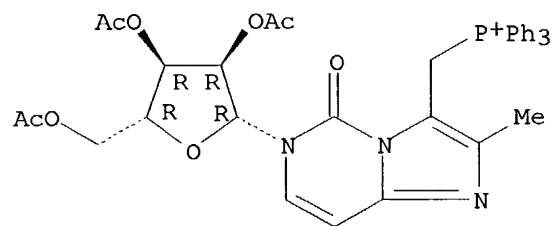


● Br<sup>-</sup>

RN 35536-44-8 CAPLUS

CN Phosphonium, [[5,6-dihydro-2-methyl-5-oxo-6-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)imidazo[1,2-c]pyrimidin-3-yl)methyl]triphenyl-, bromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

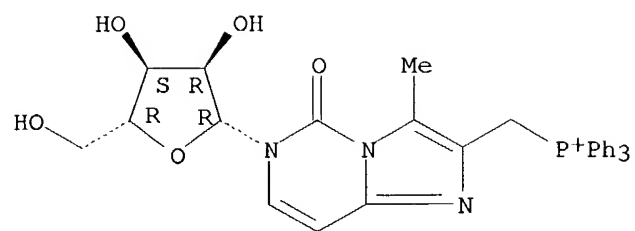


● Br<sup>-</sup>

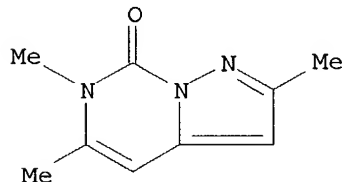
RN 35536-45-9 CAPLUS

CN Phosphonium, [(5,6-dihydro-3-methyl-5-oxo-6-.beta.-D-ribofuranosyl)imidazo[1,2-c]pyrimidin-2-yl)methyl]triphenyl-, bromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 44 OF 44 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1972:113161 CAPLUS  
 DN 76:113161  
 TI Pyrazolo[1,5-c]pyrimidine - a new heterocyclic system  
 AU Kranz, Eckart; Kurz, Juergen; Donner, Wolfgang  
 CS Wiss. Lab., Farbenfabr. Bayer A.-G., Wuppertal-Elberfeld, Fed. Rep. Ger.  
 SO Chemische Berichte (1972), 105(2), 388-405  
 CODEN: CHBEAM; ISSN: 0009-2940  
 DT Journal  
 LA German  
 OS CASREACT 76:113161  
 AB Reaction of dehydracetic acid with H<sub>2</sub>NNHCXNH<sub>2</sub> (X = S, O, or NH) gave 7-thioxo-6,7-dihydro- (I, X = S), 7-oxo-6,7-dihydro- (I, X = O), and 7-amino-2,5-dimethylpyrazolo[1,5-c]pyrimidine (II). Theoretically I and II can exist in 3 tautomeric forms. In order to prove in which form they exist, alkylation expts. were performed. The structures of the N-, O-, or S-alkyl derivs. obtained were detd. by NMR and mass spectra. The structures of I and II were detd. by comparing the uv spectra of the alkylated products with those of the starting materials. Proton signals of products prepd. were identified by using the spin decoupling method. P Bond orders and charge densities of 2,5-dimethylpyrazolo[1,5-c]pyrimidine were calcd. by the CNDO method.  
 IT **35834-00-5P 35834-01-6P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 35834-00-5 CAPLUS  
 CN Pyrazolo[1,5-c]pyrimidin-7(6H)-one, 2,5,6-trimethyl- (9CI) (CA INDEX NAME)

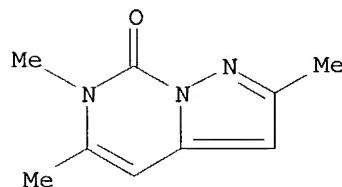


*Same as # 41*

RN 35834-01-6 CAPLUS  
 CN Pyrazolo[1,5-c]pyrimidin-7(6H)-one, 2,5,6-trimethyl-, compd. with sodium iodide (NaI) (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 35834-00-5  
 CMF C9 H11 N3 O



10/634,225

CM 2

CRN 7681-82-5

CMF I Na

I- Na

=> d his

(FILE 'HOME' ENTERED AT 16:22:13 ON 24 FEB 2004)

FILE 'REGISTRY' ENTERED AT 16:22:22 ON 24 FEB 2004

L1               STRUCTURE UPLOADED  
 L2               50 S L1 SSS SAM  
 L3               2657 S L1 SSS FUL  
 L4               STRUCTURE UPLOADED  
 L5               50 S L4 SSS SAM SUB=L3  
 L6               2133 S L4 SSS FUL SUB=L3  
 L7               STRUCTURE UPLOADED  
 L8               12 S L7 SSS SAM SUB=L3  
 L9               411 S L7 SSS FUL SUB=L3  
 L10              117 S L3 NOT L6 NOT L9

FILE 'CAPLUS' ENTERED AT 16:31:12 ON 24 FEB 2004

L11              44 S L10

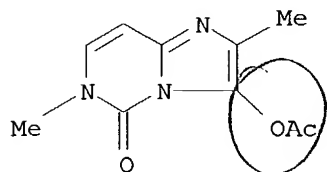
FILE 'CAOLD' ENTERED AT 16:32:56 ON 24 FEB 2004

=> s 110

L12              1 L10

=> d 112 bib,hitstr

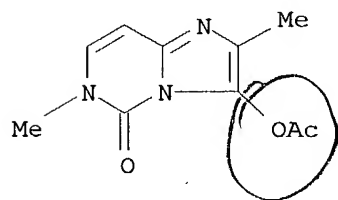
L12 ANSWER 1 OF 1 CAOLD COPYRIGHT 2004 ACS on STN  
 AN CA61:4345d CAOLD  
 TI pyrimidines - (III) rearrangement in the syntheses of imidazo- or  
 pyrimidol[1,2-c]pyrimidines, (IV) interconversion of N4-methylcytosine and  
 3-methylcytosine  
 AU Ueda, Tohru; Fox, J. J.  
 IT **93738-70-6 96117-01-0**  
 RN 93738-70-6 CAOLD  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 3-hydroxy-2,6-dimethyl-, acetate (7CI)  
 (CA INDEX NAME)



RN 96117-01-0 CAOLD  
 CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 3-hydroxy-2,6-dimethyl-, acetate,  
 acetate (salt) (7CI) (CA INDEX NAME)

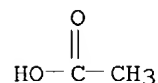
CM 1

CRN 93738-70-6  
 CMF C10 H11 N3 O3



CM 2

CRN 64-19-7  
 CMF C2 H4 O2





10/634,225

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

3.15

448.56

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-30.49

STN INTERNATIONAL LOGOFF AT 16:33:25 ON 24 FEB 2004